

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 113864

TO: Hong Liu

Location: REM-5C11

Art Unit: 1624

Wednesday, February 11, 2004

Case Serial Number: 10/075847

From: Peggy Ruppel

Location: Biotech-Chem Library

Phone: 571-272-2557 REM E01b65

peggy.ruppel@uspto.gov

Search Notes

Dear Examiner Liu:

Please see attached results. Barb O'Bryen supervised my work on this search as part of my tracking, so she did, indeed, work on this search.

Feel free to contact me if you have any questions.

Thank you for using STIC services

Peggy Ruppel 308-1278 271-2557



=> b reg FILE 'REGISTRY' ENTERED AT 11:27:54 ON 11 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

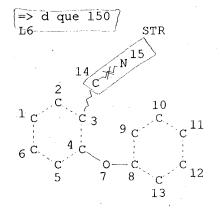
• STRUCTURE FILE UPDATES: 10 FEB 2004 HIGHEST RN 648858-13-3 DICTIONARY FILE UPDATES: 10 FEB 2004 HIGHEST RN 648858-13-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html



NODE ATTRIBUTES:
NSPEC IS RC AT 14
NSPEC IS RC AT 15
DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

This structure represents
our parent compound for the
search. The highlighted
search bond are designated
wodes and bond are designated
as ring or chain throughout
the following structures.

NH~Ak @16 17 $Ak \sim N \sim Ak$ 18 @19 20 CH~Ak @21 22

Ak~^ C~^ Ak 23 @24 25 CH~Ak~^X @26 27 28 $Ak \sim C \sim Ak \sim X$ 29 @30 31 32 X ~ Ak ~ C ~ Ak ~ X 33 34 @35 36 37

VAR G1=21/24/26/30/35/CH2 VAR G2=16/19/NH2 NODE ATTRIBUTES: CONNECT IS E1 RC AT 17 CONNECT IS E1 RC AT 18

CONNECT IS E1 RC AT 10
CONNECT IS E1 RC AT 20
CONNECT IS E1 RC AT 22

CONNECT IS E1 RC AT 22 CONNECT IS E1 RC AT 23

CONNECT IS E1 RC AT 25

CONNECT IS E1 RC AT 29 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS M1-X4 C AT 17 ECOUNT IS M1-X4 C AT 18

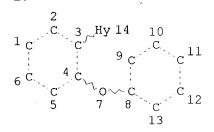
ECOUNT IS M1-X4 C AT 20 ECOUNT IS M1-X4 C AT 22 ECOUNT IS M1-X4 C AT 23

ECOUNT IS M1-X4 C AT 23 ECOUNT IS M1-X4 C AT 25

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 14

Heterocycle atrode 14 is morocyclic and unsaturated.

Searched by P. Ruppel

Structures L. Fand L.8

are two general substructures of L. G and
were used to varrow
the search.

DEFAULT ECLEVEL IS LIMITED ECOUNT IS M1 N AT 14

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L9 (6520) SEA FILE=REGISTRY SSS FUL L6

L10 (747) SEA FILE=REGISTRY SUB=L9 SSS FUL (L6 AND (L7 OR L8))

L11 STF

NODE ATTRIBUTES:

NSPEC IS RC AT 1
NSPEC IS RC AT 2
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE

NODE ATTRIBUTES:
NSPEC IS RC AT 1
NSPEC IS RC AT 2
DEFAULT MLEVEL IS ATOM

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE STR

NODE ATTRIBUTES:

structures LII-L14

were known to be

unacceptable andwere

(L7 OR L8)) removed from the

answerset.

(Cyclic groups off of phenyl/Naphthylgroups)

NSPEC IS RC AT 1
NSPEC IS RC AT 2
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 6

1 2 3 4 5

NODE ATTRIBUTES:
NSPEC IS RC AT 1
NSPEC IS RC AT 2
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE

L15 544 SEA FILE=REGISTRY SUB=L10 SSS FUL (L6 AND L14 NOT (L11 OR L12 OR L13))
L17 STR

14 c N 15

14 c N 15

1 c C 3 9 c C 11

6 C 4 C 0 C 12

1 3 13

this is a restatement of 66

NODE ATTRIBUTES:
NSPEC IS RC AT 14
NSPEC IS RC AT 15
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE | L20 | STR

Structures L20, ad L46-L48 were used to Finalize the search

```
Liu 10/075,847
```

1 2 3 4 5

NODE ATTRIBUTES:

AT NSPEC IS RC 1 IS RC ΑT 2 NSPEC CONNECT IS E2 RC AT 3 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

(L46 \

VAR G1=X/7/8/10/13/15/22/19/22/17/CN/NO2/NH2

NODE ATTRIBUTES:

NSPEC IS RC AT IS RC ΑT 2 NSPEC RC AT 5 CONNECT IS E1 CONNECT IS E1 RC AT 7 CONNECT IS E1 RC AT 11 RC AT 12 CONNECT IS E1 RC AT 14 CONNECT IS E1 RC AT 16 CONNECT IS E1 21 CONNECT IS E1 RC AT CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE STR L47

DEFAULT MLEVEL IS ATOM

```
Ak @7
         Ak \sim X O \sim Ak 08 9 010 11
                            Ak ~ N ~ Ak NH ~ Ak
12 @13 14 @15 16
                                                            S√Ak
                                                        S√Ak
@22 23
                                       6
    24
                                      G1
    0
               o√ Ak√ X
                                      3
               @17 18 25
                                N \sim C \sim Ak
                                1 \quad 2 \cdot 3 \quad 4 \quad 5 \quad 26
@19 20 21
VAR G1=X/7/8/10/13/15/22/19/22/17/CN/NO2/NH2
NODE ATTRIBUTES:
NSPEC IS RC
              ΑT
                      1
                AT
NSPEC IS RC
                      2
                     . 7
CONNECT IS E1 RC AT
CONNECT IS E1 RC AT
                    11
CONNECT IS E1 RC AT
                    12
CONNECT IS E1 RC AT
CONNECT IS E1 RC AT
CONNECT IS E1 RC AT
                    21
CONNECT IS E1 RC AT 23
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26
STEREO ATTRIBUTES: NONE
       STR
L48
 Ak @7
         Ak \sim X O \sim Ak @8 9 @10 11
                                              NH \sim Ak
                                                           S√Ak
                               Ak√N√Ak
12 @13 14
                                             @15 16
                                                            @22 23
    24
    0 .
               0√ Ak√ X
               @17 18 25
 N \sim C \sim Ak
                                @19 20 21
                               1 2 3 4 5 26
VAR G1=X/7/8/10/13/15/22/19/22/17/CN/NO2/NH2
NODE ATTRIBUTES:
NSPEC IS RC
                 ΑТ
                      1
     IS RC
                TA
NSPEC
                      2
CONNECT IS E2 RC AT
                      3
                      7
CONNECT IS E1 RC AT
CONNECT IS E1 RC AT
                     11
CONNECT IS E1 RC AT 12
CONNECT IS E1 RC AT
CONNECT IS E1 RC AT 16
CONNECT IS E1 RC AT 21
CONNECT IS E1 RC AT 23
```

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

L50 \ 273 SEA FILE=REGISTRY SUB=L15 SSS FUL (L17 AND (L20 OR L46 OR L47 OR L48))

=> b hcaplus FILE 'HCAPLUS' ENTERED AT 11:28:09 ON 11 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 11 Feb 2004 VOL 140 ISS 7 FILE LAST UPDATED: 10 Feb 2004 (20040210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

(=> d c	nie 15	1 nos			
-L6			STR		
L7			STR		
- L8			STR		
		C		DIED-DECIGNDA CCC BILL IC	
L9 (FILE=REGISTRY SSS FUL L6	(T T O T T
L10 (747)	SEA	FILE=REGISTRY SUB=L9 SSS FUL (L	6 AND (L/ OR L8))
L11			STR		
L12			STR		
L13			STR		•
L14			STR		
L15		544	SEA	FILE=REGISTRY SUB=L10 SSS FUL (L6 AND L14 NOT (L11 OR L12
			OR I	13))	
L17			STR		•
L20			STR		·
L46			STR	(
L47			STR		
L48			STR	,	
L50		273	SEA	FILE=REGISTRY SUB=L15 SSS FUL ((L17 AND (L20 OR L46 OR L47
		tan managara	OR I		
L51				FILE=HCAPLUS ABB=ON PLU=ON L5	0/

```
=> d ibib abs hitstr 151 1-44
```

≰51 ANSWER 1 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:20494 HCAPLUS

DOCUMENT NUMBER:

140:77140

TITLE:

Preparation of thiazolyl aryl ureas as activators of

glucokinase

INVENTOR(S):

Polisetti, Dharma Rao; Kodra, Janos Tibor; Lau, Jesper; Bloch, Paw; Valcarce-Lopez, Maria Carmen; Blume, Niels; Guzel, Mustafa; Santhosh, Kalpathy

Chidambareswaran; Mjalli, Adnan M. M.; Andrews, Robert Carl; Subramanian, Govindan; Ankersen, Michael; Vedso,

Per; Murray, Anthony; Jeppesen, Lone

PATENT ASSIGNEE(S):

Novo Nordisk A/S, Den.; Valcarce-Lopez, mariacarmen; et

al.

SOURCE:

PCT Int. Appl., 600 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPLICATION NO. DATE									
	WO	2004	0024	81	À	1	2004	0108		WO 2003-DK449 20030627								•		
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
•															GB,					
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LŔ,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NΖ,	OM,		
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	TN,		
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,		
			KG,	ΚZ,	MD,	RU														
		RW:													ZW,					
															ΙE,					
			NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,		
			GW,	ML,	MR,	NE,	SN,	TD,	ΤG											
PRIO	RITY	APP	LN.	INFO	.:					DK 2	002-	999		Α	2002	0627				
									1	US 2	002-	3941	44P	Ρ	2002	0703				
										DK 2	003-	286		Α	2003	0225				
									1	US 2	003-	4522	28P	Ρ	2003	0305				

GΙ

AB The title compds. [I; Al = arylene, heteroarylene, fused cycloalkylarylene, etc.; Ll = a bond, O, S, SO, etc.; Gl = alkyl, cycloalkyl, cycloalkylalkylene, etc.; L2 = a bond, alkylene, alkenylene,

IT

etc.; L3 = CO, COCO, COCH2CO, SO2; R1 = alkyl, alkenyl, alkynyl, etc.; G2 = heteroaryl, fused heterocyclylheteroaryl, cycloalkylheteroaryl, etc.] which are activators of glucokinase and may be useful for the management, treatment, control, or adjunct treatment of diseases, where increasing glucokinase activity is beneficial (no data), were prepared and formulated. Thus, reacting 2-phenoxyaniline with 2-aminothiazole and 1,1'-carbonyldiimidazole afforded 95% the urea II.

361394-40-3, 2-Fluoro-6-(4-methoxyphenoxy)benzylamine RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolyl aryl ureas as glucokinase activators)

361394-40-3 HCAPLUS RN

Benzenemethanamine, 2-fluoro-6-(4-methoxyphenoxy)- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN DS 1 ANSWER 2 OF 44

ACCESSION NUMBER:

2003:777769 HCAPLUS

DOCUMENT NUMBER:

139:261302

TITLE:

Preparation of aminobenzamide derivatives for

treatment of diabetes and obesity

INVENTOR(S):

Nishimura, Teruyuki; Iino, Tomoharu; Nagata, Yasufumi;

Eiki, Junichi

PATENT ASSIGNEE(S):

Banyu Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 112 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND	DATE			APPLICATION NO. DATE										
WO 2003	O 2003080585			1002		W	0 20	03-J	P365	6	2003	0325				
₩:	AE, AG,	AL, A	м, AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
	CO, CR,	CU, C	Z, DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,		
	GM, HR,	HU, I	o, IL,	IN,	IS,	JP,	ΚĒ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,		
	LS, LT,	LU, L	J, MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	NΖ,	OM,		
	PH, PL,	PT, R	o, RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,		
	TZ, UA,	UG, U	S, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	ΒY,	KG,	KΖ,		
	MD, RU,	TJ, T	M													
RW:	GH, GM,	KE, L	S, MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,		
	CH, CY,	CZ, D	Ξ, DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,		
	NL, PT,	RO, S	E, SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,		
	GW, ML,	MR, N	E, SN,	TD,												
PRIORITY APP	LN. INFO	.:				JP 2	002-	8572	0	Α	2002	0326				
OTHER SOURCE	E(S):	M	MARPAT 139:261302													

GΙ

$$R^1$$
 X^1 NHR R^2 X^2 NH_2 I

Title compds. I (R = nitrogen-containing, monocyclic or bicyclic heteroaryl AΒ group; R1 = heterocyclylthio, heterocyclylsulfinyl, heterocyclylsulfonyl, etc.; R2 = H, halo, alkyl, etc.; X1, X2 = N, CH) and their pharmaceutically acceptable salts, having glucokinase activity and useful for prevention or treatment of diabetes and obesity, are prepared 2-Amino-5-(4-methyl-4H-1,2,4-triazol-3-ylthio)-N-(4-methylthiazol-2yl)benzamide was prepared and showed hypoglycemic activity in mice.

IT 603109-35-9P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of aminobenzamide derivs. for treatment of diabetes and obesity)

RN 603109-35-9 HCAPLUS

Benzamide, 2-amino-5-[2-[(dimethylamino)methyl]phenoxy]-N-(4-methyl-2-CN thiazolvl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

151 ANSWER 3 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:696859 HCAPLUS

DOCUMENT NUMBER:

139:230480

TITLE:

Preparation of substituted amines prodrugs useful in

treating Alzheimer's disease

INVENTOR(S):

Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman,

Daniel

PATENT ASSIGNEE(S):

Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SOURCE:

PCT Int. Appl., 483 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT	NO.		KII	ND .	DATE			A)	PPLI	CATI	ON NO	ο.	DATE				
	WO	2003	0725	35	A	2	2003	0904		W	20	03-U	s728	7	2003	0227			
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	
			RU,	ТJ,	TM								•						
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,	
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	ĢΒ,	GR,	HU,	ΙE,	IT,	LU,	MC,	
			ΝL,	PT,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	ČΜ,	GΑ,	GN,	GQ,	GW,	
			ML,	MR,	NE,	SN,	TD,	TG											
I	PRIORITY	.:				Ī	US 20	002-	3599	53P	P	20020227							
(OTHER SC	URCE	(S):			MAR	PAT	139:	2304	80							•		
(TT.				•														

Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared Although the methods of preparation are not claimed, hundreds of example prepns. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N,

ΙI

1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC50 of < 50 μM against β -secretase.

IT 175136-89-7, [(2-Chloro-6-phenoxyphenyl)methyl]amine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 175136-89-7 HCAPLUS

CN Benzenemethanamine, 2-chloro-6-phenoxy- (9CI) (CA INDEX NAME)

161 ANSWER 4 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:678291 HCAPLUS

DOCUMENT NUMBER:

139:202503

TITLE:

Osmotic delivery system containing a polyethylene

oxide and an osmagent

INVENTOR(S):

Waterman, Kenneth C.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
US 2003161882	A 1	20030828	US 2003-352258 2003012	27
ORITY APPLN. INFO.	:		US 2002-353502P P 2002020	1

AB An osmotic pharmaceutical tablet is described which comprises a single-layer compressed core surrounded by a water permeable layer having a passageway. The single-layer core contains (i) a non-ripening drug having a solubility per dose less than about 1 mL -1, (ii) about 2.0% to about 30% by weight of a polyethylene oxide having a weight-average, mol. weight

200,000 to about 7,000,000, (iii) an osmagent, and (iv) an optional disintegrant. Many osmotic tablets were prepared and their dissoln. rate were studied.

IT 289716-93-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (osmotic delivery system containing polyethylene oxide and osmagent)

RN 289716-93-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

ANSWER 5 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:416216 HCAPLUS

DOCUMENT NUMBER:

139:337765

TITLE:

Microwave-assisted synthesis of phthalonitriles and

phthalocyanines

AUTHOR(S):

Csokai, Viktor; Parlagh, Gyula; Grofcsik, Andras;

Kubinyi, Miklos; Bitter, Istvan

CORPORATE SOURCE:

Department of Organic Chemical Technology, Budapest

University of Technology and Economics, Budapest,

H-1521, Hung.

SOURCE:

Synthetic Communications (2003), 33(10), 1615-1621

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER:

Marcel Dekker, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GΙ

CN:

II

The microwave-assisted synthesis of phthalonitriles such as I [R = Me(OCH2CH2)2] and phthalocyanines such as II (same R; M = Zn, 2H) using a two-step literature method was compared with that of a one pot procedure. The two step literature method, comprising first the preparation of the appropriate phthalonitrile followed by cyclization, generally used for the synthesis of Pcs was affected by microwave (Mw) irradiation. The synthetic route was based on the well known nucleophilic substitution of 4-nitrophthalonitrile with alcs. and phenols in the presence of K2CO3 in DMF solvent. The one pot procedure provides remarkable advantages compared with the two step synthesis of Pc-Zn complexes: the overall yields are comparable, the expensive DBU can be eliminated, the work-up is easier, and this method is suitable for direct preparation of metal-free Pcs.

IT 615249-96-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (microwave-assisted synthesis of phthalonitriles and phthalocyanines) 615249-96-2 HCAPLUS

RN 615249-96-2 HCAPLUS
CN 1,2-Benzenedicarbonitrile, 4-[2,4-bis[(dimethylamino)methyl]-6methylphenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

151 ANSWER 6 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

Accession number: 2003:289083 HCAPLUS

DOCUMENT NUMBER: 139:360973

TITLE: Molecular modeling of potential new and selective PET

radiotracers for the serotonin transporter

AUTHOR(S): Wellsow, Julia; Kovar, Karl-Artur; Machulla,

Hans-Jurgen

CORPORATE SOURCE: Pharmaceutical Institute, Department of Pharmaceutical

and Analytical Chemistry, University of Tubingen,

Tubingen, Germany

SOURCE: Journal of Pharmacy & Pharmaceutical Sciences [online

computer file] (2002), 5(3), 245-257

CODEN: JPPSFY; ISSN: 1482-1826

URL: http://www.ualberta.ca/~csps/JPPS5(3)/K.Kovar/pet

.pdf

PUBLISHER: Canadian Society for Pharmaceutical Sciences

DOCUMENT TYPE: . Journal; (online computer file)

LANGUAGE: English

AB Imaging the serotonin transporter (SERT) with Positron Emission Tomog.

(PET) provides a useful tool for understanding alterations of the serotonergic system. However, no optimal PET radiotracer for the SERT yet exists. The main purpose of this study was to design potential new and

selective PET radiotracers for the SERT and to predict their binding affinity at both the SERT and the norepinephrine transporter. Mol. Modeling was used for ligand design. Predictions of binding affinity were based on models generated by Comparative Mol. Field Anal. (CoMFA) and Comparative Mol. Similarity Indexes Anal. (CoMSIA). A series of 100 compds. were suggested. As di-Ph sulfide derivs. like [11C]DASB have recently proven to be promising PET ligands, rational modification of the di-Ph sulfide scaffold has been performed. The novel compds. were predicted to be selective high affinity SERT ligands. Important new ideas are the introduction of a fluoroethyl-oxycarbonyl group (ester) and fluoroethyl-carbonyl group (ketone), as well as a formyl group (aldehyde), and its corresponding oxime and imine. Another innovative suggestion is the replacement of the sulfur bridge with a cyanamide group and a fluoroethylamino group. The suggested compds. possess features providing new possibilities for carbon-11 or fluorine-18 labeling. Synthesis, biol. testing, and screening for PET suitability are reasonably further steps.

IT 622399-66-0 622399-67-1 622399-68-2 622399-69-3

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(mol. modeling of PET radiotracers for serotonin transporter)

RN 622399-66-0 HCAPLUS

CN Benzonitrile, 3-amino-4-[2-[(dimethylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

RN 622399-67-1 HCAPLUS

CN Benzenemethanamine, 2-[2-amino-4-(2-fluoroethyl)phenoxy]-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$NH_2$$
 CH_2-NMe_2
 FCH_2-CH_2

RN 622399-68-2 HCAPLUS

CN Benzoic acid, 3-amino-4-[2-[(dimethylamino)methyl]phenoxy]-, 2-fluoroethyl
ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH}_2 \\ & \text{O} \end{array}$$

$$\begin{array}{c|c} \text{CH}_2 - \text{NMe}_2 \\ & \text{O} \end{array}$$

RN 622399-69-3 HCAPLUS

CN 1-Propanone, 1-[3-amino-4-[2-[(dimethylamino)methyl]phenoxy]phenyl]-3-fluoro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH}_2 \\ & \text{NH}_2 \\ & \text{CH}_2 - \text{CH}_2 - \text{C} \\ & \text{O} \end{array}$$

REFERENCE COUNT:

59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 7 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:925264 HCAPLUS

DOCUMENT NUMBER:

138:11431

TITLE:

5-HTla antagonist or an $\alpha 2$ -adrenergic antagonist in combination with an serotonin reuptake inhibitor for treatment of sleep disorders, including sleep

apnea

INVENTOR(S):

Howard, Harry Ralph, Jr. Pfizer Products Inc., USA Eur. Pat. Appl., 22 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT ASSIGNEE(S):

: 1

PATENT INFORMATION:

PAT	ENT	NO.		KI.	ND	DATE			A	PPLI	CATI	Э.	DATE				
EP	1262	197	•	. A	2	2002	1204		E	P 20	02-2	5358	9 ·	2002	0522		
EP	1262	197		Α	3	2002	1218										
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		TT	C T	ידי ד	T 7.7	FT	PΩ	MK	CV	7\ T	TΠ						

```
US 2002183306
                       A1
                            20021205
                                            US 2002-75849
                                                             20020213
     BR 2002001974
                       Α
                            20030422
                                            BR 2002-1974
                                                             20020528
     JP 2003026602
                       A2
                            20030129
                                            JP 2002-155222
                                                             20020529
PRIORITY APPLN. INFO.:
                                        US 2001-294322P P
                                                             20010530
OTHER SOURCE(S):
                         MARPAT 138:11431
    The invention provides a method of treating sleep disorders, including
AB
     sleep apnea, in a mammal, including a human, by administering to the
     mammal a 5-HT1a antagonist or an \alpha2-adrenergic antagonist in
     combination with an serotonin reuptake inhibitor (SRI) antidepressant
     agent with improvement in efficacy. Also provided are pharmaceutical
     compns. containing a pharmaceutically acceptable carrier, a 5-HT1a antagonist
     or an \alpha 2-adrenergic antagonist, and an SRI antidepressant agent.
IT
     289716-79-6 289716-94-5 289717-01-7
     289717-16-4 289717-18-6 289717-48-2
     289717-50-6 289717-52-8 289717-56-2
     289717-57-3 289717-59-5 289717-60-8
     289717-61-9 289717-62-0 289717-63-1
     289717-64-2 289717-65-3 289717-66-4
     289717-67-5 289717-68-6 289717-69-7
     289717-70-0 289717-71-1 289717-72-2
     289717-73-3 289717-74-4 289717-75-5
     444888-21-5 444888-22-6 444888-23-7
     444888-24-8 444888-25-9 444888-27-1
     444888-29-3 444888-31-7 444888-33-9
     444888-34-0 444888-35-1 444888-36-2
     444888-37-3 444888-38-4 444888-39-5
     444888-40-8 444888-41-9 444888-42-0
     444888-43-1 444888-45-3 444888-46-4
     444888-49-7 454456-66-7 477337-55-6
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (5-HT1a antagonist or \alpha2-adrenergic antagonist in combination
        with serotonin reuptake inhibitor for treatment of sleep disorders,
        including sleep apnea)
     289716-79-6 HCAPLUS
RN
     Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-
CN
     (trifluoromethyl) = (9CI) (CA INDEX NAME)
```

RN 289716-94-5 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-01-7 HCAPLUS

Ċl

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH₂

Br Cl

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

MeO

OMe

C1

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Me₂N-CH₂
OMe
Cl

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-67-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-71-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 444888-21-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α , α -trimethyl- (9CI) (CA INDEX NAME)

RN 444888-22-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N, α , α -tetramethyl- (9CI) (CA INDEX NAME)

RN 444888-23-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

C1

RN 444888-24-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-4-methoxy-N-methyl-(9CI) (CA INDEX NAME)

RN 444888-25-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(dimethylamino)-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$Me_2N-CH_2$$
 Me_2N
 $C1$

RN 444888-27-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 444888-29-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 444888-31-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-33-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α , α -trimethyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-34-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylthio)-(9CI) (CA INDEX NAME)

RN 444888-35-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfinyl)- (9CI) (CA INDEX NAME)

RN 444888-36-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfinyl)-(9CI) (CA INDEX NAME)

RN 444888-37-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 444888-38-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \text{O} \\ \text{Me}-\text{S} \\ \text{O} \\ \end{array}$$

RN 444888-39-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 444888-40-8 HCAPLUS

CN Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 444888-41-9 HCAPLUS

CN Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 444888-42-0 HCAPLUS

CN Morpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 444888-43-1 HCAPLUS

CN Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,2-dimethyl- (9CI) (CA INDEX NAME)

RN 444888-45-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,5-dimethyl-(9CI) (CA INDEX NAME)

RN 444888-46-4 HCAPLUS
CN Thiomorpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI)
(CA INDEX NAME)

RN 444888-49-7 HCAPLUS
CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 454456-66-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 477337-55-6 HCAPLUS

CN Benzenemethanamine, 5-fluoro-2-(4-fluoro-3-methoxyphenoxy)-N-methyl- (9CI) (CA INDEX NAME)

L51 ANSWER 8 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

2002:925263 HCAPLUS 138:336

TITLE:

Combination of a monoamine reuptake inhibitor and an opioid antagonist for use in alcoholism and alcohol

described an eagonist for u

dependence

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE: Howard, Harry Ralph, Jr. Pfizer Products Inc., USA Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND							DATE			А	PPLI	CATI	и ис	DATE					
										_									
EP 1262196 A2						2	2002	1204		E	P 20	02-2	5310	5	20020502				
	EP 1262196 A3					3	2002	1218											
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	JΡ	2002	37091	75	A.	2 .	. 20021224			J	P 20	02-13	32804	4	20020508				
	AU 2002040686 A5					5	2002	1205		A	J 20	02-40	0686		20020516				

US 2003130322 A1 20030710 US 2002-153379 20020522 20021225 CN 1386503 CN 2002-120350 20020523 Α PRIORITY APPLN. INFO.: US 2001-293088P P 20010523 OTHER SOURCE(S): MARPAT 138:336 GΙ

AB The present invention relates to a method of treating alcoholism or alc. dependence in a mammal, including a human, by administering to the mammal a monoamine reuptake inhibitor in combination with an opioid antagonist. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a monoamine reuptake inhibitor and an opioid antagonist. An example monoamine reuptake inhibitor is I.

IT 289716-79-6 289716-94-5 289717-01-7 289717-16-4 289717-18-6 289717-24-4 289717-48-2 289717-50-6 289717-52-8 289717-53-9 289717-54-0 289717-55-1 289717-56-2 289717-57-3 289717-58-4 289717-62-0 289717-63-1 289717-64-2 289717-65-3 289717-66-4 289717-67-5 289717-68-6 289717-69-7 289717-70-0 289717-71-1 289717-72-2 289717-73-3 289717-74-4 289717-75-5 364323-82-0 476310-75-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of a monoamine reuptake inhibitor and an opioid antagonist for use in alcoholism and alc. dependence)

RN 289716-79-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

N 289716-94-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-01-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂

CI

CF₃

C1

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)(9CI) (CA INDEX NAME)

MeNH-CH₂
O
CF₃
Cl

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-24-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-(9CI) (CA INDEX NAME)

NHMe CH-Me C1

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-(9CI) (CA INDEX NAME)

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2N-\text{CH}_2 \\ \\ \text{Me} \end{array}$$

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-66-4 HCAPLUS
CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

Rotation (+).

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-71-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 364323-82-0 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 476310-75-5 HCAPLUS

CN Benzenemethanamine, 5-fluoro-N-methyl-2-phenoxy- (9CI) (CA INDEX NAME)

L51 ANSWER 9 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:904325 HCAPLUS

DOCUMENT NUMBER:

137:380038

TITLE:

Combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for

depression and anxiety

INVENTOR(S):

Howard, Harry Ralph, Jr. Pfizer Products Inc., USA

PATENT ASSIGNEE(S): SOURCE:

Eur. Pat. Appl., 31 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
EP 1260221	A2 20021127	EP 2002-253135	20020503				
EP 1260221	A3 20021218						
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,				
IE, SI,	LT, LV, FI, RO,	MK, CY, AL, TR					
AU 2002040681	A5 20021205	AU 2002-40681	20020516				
JP 2002370976	A2 20021224	JP 2002-141515	20020516				
CN 1386504	A 20021225	CN 2002-120351	20020523				
PRIORITY APPLN. INFO	1.:	US 2001-293063P P	20010523				
OTHER SOURCE(S):	MARPAT 137:3	380038					
_							

AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a monoamine reuptake inhibitor in combination with a dopamine D3 receptor agonist. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a monoamine reuptake inhibitor and a dopamine D3 receptor agonist.

```
IT 289716-79-6 289716-94-5 289717-01-7
```

289717-16-4 289717-18-6 289717-24-4

289717-48-2 289717-50-6 289717-52-8

289717-53-9 289717-54-0 289717-55-1

289717-56-2 289717-57-3 289717-58-4

289717-59-5 289717-60-8 289717-61-9

289717-62-0 289717-63-1 289717-64-2

289717-65-3 289717-66-4 289717-67-5

289717-68-6 289717-69-7 289717-70-0 289717-71-1 289717-72-2 289717-73-3

289717-74-4 289717-75-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(monoamine reuptake inhibitor; combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for depression and anxiety)

RN 289716-79-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

 F_3C C1

RN 289716-94-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH₂

RN 289717-01-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Me₂N-CH₂
O
Cl
CF₃
Cl

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH₂

RN 289717-24-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,α-dimethyl-(9CI) (CA INDEX NAME)

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl](9CI) (CA INDEX NAME)

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2N-\text{CH}_2 \\ \\ \text{Me} \\ \\ \text{C1} \\ \end{array}$$

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

 $MeNH-CH_2$

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-67-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

OMe

RN 289717-71-1 HCAPLUS

Cl

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

L51 ANSWER 10 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:773622 HCAPLUS

DOCUMENT NUMBER:

137:273225

TITLE:

Combination treatment for multiple sclerosis, other demyelinating conditions and peripheral neuropathy,

especially painful neuropathies and diabetic

neuropathy

INVENTOR(S):

Howard, Harry Ralph, Jr.

PATENT ASSIGNEE(S):

; Pfizer Products Inc., USA

SOURCE:

Eur. Pat. Appl., 15 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT	NO.		KII	1D	DATE			Al	PPLI	CATIO	ои ис	Э.	DATE			
	EΡ	1247	533		A2	2	2002	1009		El	200	02-2	5184	4	20020	0314		
	EΡ	1247	533		A.	3	2003	1217				•						
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	ĢR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR		e e e				
	US	2002	14720	06	A.	L	2002	1010		U:	5 200	01-2	4968		20013	1219		
	JΡ	2002	35644	45	Αź	2	2002	1213		J	200	02-10	00682	2	20020	0403		
	BR	2002	00109	94	Α		2003	0527		В	R 200	02-10	094		20020	0405		
PRIOR	RITY	APP	LN.	INFO	. :				Ţ	JS 20	001-2	2819	38P	Ρ.	20010	0405		
OTHER	SC	URCE	(S):			MAR	PAT	137:2	27322	25								

AB The invention relates to a combination useful in treating Multiple Sclerosis, other demyelinating disorders and peripheral neuropathy in a mammal comprising a neurotransmitter-inducing or precursor agent in combination with an (serotonin reuptake inhibitors, SRI) anxiolytic agent or an antidepressant with improvement in efficiency. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a neurotransmitter-inducing or precursor agent, and an SRI antidepressant or anxiolytic agent.

IT 107624-14-6 107624-14-6D, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination treatment for multiple sclerosis, demyelinating conditions and peripheral neuropathy)

RN 107624-14-6 HCAPLUS

CN Benzenemethanamine, 2-phenoxy- (9CI) (CA INDEX NAME)

$$\begin{picture}(200,00) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){1$$

107624-14-6 HCAPLUS RN

CN Benzenemethanamine, 2-phenoxy- (9CI) (CA INDEX NAME)

L51 ANSWER 11 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:755211 HCAPLUS

DOCUMENT NUMBER:

137:262839

TITLE:

Preparation of phenoxybenzylamines as monoamine reuptake inhibitors for treatment of CNS disorders. Howard, Harry R.; Schmidt, Christopher J.; Seeger,

INVENTOR(S):

Thomas F.; Elliott, Mark L.

PATENT ASSIGNEE(S):

Pfizer, Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 24 pp., Cont.-in-part of U.S.

Ser. No. 529,207.

CODEN: USXXCO

Patent

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. K	ND DATE	*	APPLICA	ATION NO.	DATE						
US 2002143003 A			US 200	1-845992	20010430	20010430					
WO 2000050380			WO 200	0-IB108	20000202	20000202					
W: AE, AL, AM,	AT, AU,	AZ, BA,	BB, BG, I	BR, BY, C	A, CH, CN,	. CR, CU,					
CZ, DE, DK,	EE, ES,	FI, GB,	GD, GE, G	GH, GM, H	R, HU, ID,	IL, IN,					
IS, JP, KE,	KG, KP,	KR, KZ,	LC, LK,	LR, LS, L	T, LU, LV,	MA, MD,					
MG, MK, MN	MW, MX,	NO, NZ,	PL, PT, 1	RO, RU, S	D, SE, SG,	, SI, SK,					
SL, TJ, TM	TR, TT,	UA, UG,	US, UZ,	VN, YU, Z	A, ZW, AM,	AZ, BY,					
KG, KZ, MD				i a							
RW: GH, GM, KE	LS, MW,	SD, SL,	SZ, TZ, U	UG, ZW, A	T, BE, CH,	CY, DE,					
DK, ES, FI	FR, GB,	GR, IE,	IT, LU, I	MC, NL, P	T, SE, BF,	BJ, CF,					
CG, CI, CM,	GA, GN,	GW, ML,	MR, NE,	SN, TD, T	G						
PRIORITY APPLN. INFO.: US 1999-121313P P 19990223											
US 2000-529207 A2 20000202											
			WO 2000-II	B108 W	20000202	2					
OTHER SOURCE(S): MARPAT 137:262839											
AB ROZCR3R4NR1R2 [R = (un)substituted Ph; R1, R2 = H, alk(en)yl, alkynyl;											

ΙT

RN

CN

NR1R2 = heterocyclyl; R3,R4 = H or (fluoro)alkyl; R3R4 = (un)substituted alkylene; R2R3 = atoms to complete a heterocyclic ring; Z = (un)substituted phenylene] were prepared as monoamine reuptake inhibitors (no data). Such compds. are useful exhibit activity as serotonin, norepinephrine and dopamine reuptake inhibitors, and their pharmaceutically acceptable salts, and their use in the treatment of central nervous system and other disorders.

289718-11-2P, Benzenemethanamine, 2-(4-methylphenoxy)RL: BYP (Byproduct); PREP (Preparation)
 (preparation of phenoxybenzylamines as monoamine reuptake inhibitors)

289718-11-2 HCAPLUS

Benzenemethanamine, 2-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

146520-69-6P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-, ΙT hydrochloride 146797-20-8P, Benzenemethanamine, 2-(4-chlorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) 289716-74-1P, Benzenemethanamine, 2-fluoro-6-(4-methylphenoxy)-289716-75-2P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-289716-79-6P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,Ndimethyl-5-(trifluoromethyl)- 289716-80-9P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) 289716-82-1P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-, hydrochloride 289716-85-4P , Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (4:3) 289716-88-7P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-ethyl-, (2Z)-2-butenedioate (1:1) 289716-89-8P, Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-Nmethyl-, hydrochloride 289716-91-2P, Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1)289716-92-3P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, N-dimethyl-, hydrochloride 289716-93-4P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, hydrochloride 289716-94-5P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- 289716-95-6P, Benzenemethanamine, 2-(3,4dichlorophenoxy)-5-fluoro-N-methyl-, (22)-2-butenedioate (2:1) 289716-96-7P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,Ndimethyl-5-nitro- 289716-97-8P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-3-(trifluoromethyl)-, hydrochloride 289716-98-9P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)- N, N, α -trimethyl-, hydrochloride 289717-00-6P, Benzenemethanamine, N,N-dimethyl-2-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) 289717-01-7P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N; N-dimethyl-4-(trifluoromethyl) - 289717-02-8P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)-, (22)-2-butenedioate (1:1) 289717-04-0P, Benzenemethanamine, 2-(3,4-difluorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) 289717-06-2P, Benzenemethanamine,

```
2-(3,4-difluorophenoxy)-N-methyl-, (22)-2-butenedioate (1:1)
289717-08-4P, Benzenemethanamine, N, \alpha-dimethyl-2-(4-
methylphenoxy)-, (2Z)-2-butenedioate (1:1) 289717-09-5P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-6-
(trifluoromethyl) -, hydrochloride 289717-11-9P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-6-(trifluoromethyl)-,
(2Z)-2-butenedioate (1:1) 289717-13-1P, Benzenemethanamine,
2-(3,4-dimethylphenoxy)-5-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1)
289717-15-3P, Benzenemethanamine, 2-(3,4-dimethylphenoxy)-N-methyl-
, (2Z)-2-butenedioate (1:1) 289717-16-4P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)- 289717-17-5P
, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-
, (2Z)-2-butenedioate (1:1) 289717-18-6P, Benzenemethanamine,
4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- 289717-19-7P,
Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-,
(22)-2-butenedioate (1:1) 289717-23-3P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-3-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1)
289717-24-4P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-
N, \alpha-dimethyl- 289717-25-5P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-5-fluoro-N,\alpha-dimethyl-, (22)-2-butenedioate
(1:1) 289717-26-6P, Benzenemethanamine, 2-(4-chlorophenoxy)-5-
fluoro-N, α-dimethyl-, hydrochloride 289717-28-8P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-fluoro-N-methyl-,
(2Z)-2-butenedioate (1:1) 289717-29-9P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl-, hydrochloride
289717-30-2P, Benzenemethanamine, 2-(4-chlorophenoxy)-N,\alpha-
dimethyl-, hydrochloride 289717-32-4P, Benzenemethanamine,
2-(4-chlorophenoxy)-5-fluoro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1)
289717-33-5P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-
dimethoxy-N-methyl-, hydrochloride 289717-34-6P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl-,
hydrochloride 289717-35-7P, Benzenemethanamine,
5-bromo-2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride
289717-36-8P, Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-
N-methyl-, hydrochloride 289717-37-9P, Benzenemethanamine,
N, N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride
289717-38-0P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-
, hydrochloride 289717-39-1P, Benzonitrile, 3-(3,4-
dichlorophenoxy)-4-[(methylamino)methyl]-, monohydrochloride
289717-41-5P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-
methoxy-N, N-dimethyl-, hydrochloride 289717-42-6P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl-,
hydrochloride 289717-43-7P, Benzenemethanamine,
2-fluoro-N, N-dimethyl-6-(4-methylphenoxy) - 289717-44-8P,
Benzenemethanamine, 2-fluoro-N, N-dimethyl-6-(4-methylphenoxy)-,
(2Z)-2-butenedioate (1:1) 289717-45-9P, Benzenemethanamine,
5-amino-2-(3,4-dichlorophenoxy)-N,N-dimethyl-, monohydrochloride
289717-46-0P, Benzenemethanamine, 5-amino-2-(3,4-dichlorophenoxy)-
N, N-dimethyl- 289717-47-1P, Acetamide, N-[4-(3,4-
dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-, monohydrochloride
289717-48-2P, Acetamide, N-[4-(3,4-dichlorophenoxy)-3-
[(dimethylamino)methyl]phenyl] - 289717-49-3P, Pyrrolidine,
2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, hydrochloride
289717-50-6P, Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-
fluorophenyl]- 289717-51-7P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-5-fluoro-, hydrochloride 289717-52-8P,
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl-
```

```
289717-53-9P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-
N, N, \alpha-trimethyl- 289717-54-0P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-N,\alpha-dimethyl- 289717-55-1P,
Benzenemethanamine, 2-(4-chlorophenoxy)-N,\alpha-dimethyl-
289717-56-2P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-
methoxy-N-methyl- 289717-57-3P, Benzenemethanamine,
2-(4-chlorophenoxy)-5-fluoro-N-methyl- 289717-58-4P,
Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,\alpha-dimethyl-
289717-59-5P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-
trimethyl- 289717-60-8P, Benzenemethanamine,
4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- 289717-61-9P,
Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl-
289717-62-0P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-
dimethoxy-N-methyl- 289717-63-1P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- 289717-64-2P,
Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]-
289717-65-3P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-
trimethyl- 289717-66-4P, Benzonitrile, 3-(3,4-dichlorophenoxy)-4-
[(methylamino)methyl] - 289717-67-5P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-5-fluoro-N,\alpha-dimethyl-, (+)-
289717-68-6P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-
N, \alpha-dimethyl-, (-)- 289717-69-7P, Benzenemethanamine,
2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)- 289717-70-0P
, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl-
289717-71-1P, Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-
fluoro-N-methyl- 289717-72-2P, Benzenemethanamine,
2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- 289717-73-3P,
Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)-
289717-74-4P, Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-
fluorophenyl]-, (+)- 289717-75-5P, Pyrrolidine,
2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- 289719-21-7P
, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methyl-, hydrochloride
289719-22-8P, Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-
methoxy-, hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of phenoxybenzylamines as monoamine reuptake inhibitors)
146520-69-6 HCAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-, hydrochloride (9CI) (CA
INDEX NAME)
```

RN

CN

HCl

RN 146797-20-8 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146797-19-5 CMF C15 H16 C1 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-74-1 HCAPLUS

CN Benzenemethanamine, 2-fluoro-6-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 289716-75-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro- (9CI) (CA INDEX NAME)

RN 289716-79-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289716-80-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-79-6

CMF C16 H14 C12 F3 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-82-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-85-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (4:3) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-84-3 CMF C14 H13 C12 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-88-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-ethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-87-6 CMF C15 H15 Cl2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-89-8 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-91-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-90-1 CMF C14 H14 Cl N O

MeNH-CH₂

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO₂C Z CO₂H

RN 289716-92-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Me₂N-CH₂

C1

● HCl

RN 289716-93-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-94-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289716-95-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-94-5

CMF C14 H12 C12 F N O

MeNH-CH2

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 289716-96-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-nitro- (9CI) (CA INDEX NAME)

$$Me_2N-CH_2$$
 O_2N
 $C1$

RN 289716-97-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-98-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-00-6 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-99-0 CMF C16 H19 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-01-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289717-02-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-01-7

CMF C16 H14 C12 F3 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-04-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-difluorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-03-9 CMF C15 H15 F2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-06-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-difluorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-05-1 CMF C14 H13 F2 N

CMF C14 H13 F2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-08-4 HCAPLUS

CN Benzenemethanamine, N,α -dimethyl-2-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM I

CRN 289717-07-3 CMF C16 H19 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-09-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-6-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-11-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-6-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-10-8 CMF C15 H12 C12 F3 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-13-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dimethylphenoxy)-5-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-12-0 CMF C16 H18 F N O .

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-15-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dimethylphenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-14-2 CMF C16 H19 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-17-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-16-4

CMF C15 H12 C12 F3 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-19-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-18-6 CMF C14 H12 C13 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-23-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-3-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-22-2 CMF C14 H12 C12 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-24-4 HCAPLUS CN Benzenemethanamine, 2

Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-(9CI) (CA INDEX NAME)

RN 289717-25-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-24-4 CMF C15 H14 Cl2 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-26-6 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-28-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-27-7

CMF C14 H12 C12 F N O

CM 2

CRN 110-16-7

CMF C4 H4 O4

RN 289717-29-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-30-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, α -dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-32-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-31-3

CMF C15 H15 Cl F N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 2897.17-33-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-34-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-35-7 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-36-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-37-9 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride

(9CI) (CA INDEX NAME)

● HCl

RN 289717-38-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-39-1 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-41-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-42-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{Me} \end{array}$$

● HCl

RN 289717-43-7 HCAPLUS

CN Benzenemethanamine, 2-fluoro-N, N-dimethyl-6-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 289717-44-8 HCAPLUS

CN Benzenemethanamine, 2-fluoro-N, N-dimethyl-6-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-43-7 CMF C16 H18 F N O

Me₂N-CH₂

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO₂C Z CO₂H

RN 289717-45-9 HCAPLUS

CN Benzenemethanamine, 5-amino-2-(3,4-dichlorophenoxy)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

 $\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2 \\ \text{H}_2\text{N} \\ \end{array}$

● HCl

RN 289717-46-0 HCAPLUS

CN Benzenemethanamine, 5-amino-2-(3,4-dichlorophenoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{H}_2\text{N} \end{array} \quad \begin{array}{c} \text{C1} \\ \end{array}$$

RN 289717-47-1 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & & \\ \text{AcNH} & & \\ & & \text{CH}_2-\text{NMe}_2 \end{array}$$

● HCl

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl](9CI) (CA INDEX NAME)

RN 289717-49-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, hydrochloride

(9CI) (CA INDEX NAME)

● HCl

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-51-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

MeNH-CH2

CN

C1

RN 289717-67-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-

(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-71-1 HCAPLUS
CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI)
(CA INDEX NAME)

RN 289717-72-2 HCAPLUS
CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI)
(CA INDEX NAME)

MeNH-CH2

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 289719-21-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289719-22-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

HCl

L51 ANSWER 12 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:674788 HCAPLUS

DOCUMENT NUMBER:

137:195595

TITLE:

Atypical antipsychotic-antidepressant combination for

treatment of depression, obsessive compulsive

disorder, and psychosis

INVENTOR(S):

Howard, Harry R., Jr.

PATENT ASSIGNEE(S):

Pfizer Inc., USA

SOURCE:

U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT 1	.00	KIND	DATE	APPLICATION NO. DATE	
US 2002	123490	A1	20020905	US 2001-10651 20011206	
EP 12386	676	A1.	20020911	EP 2002-251153 20020220	
R:	AT, BE,	CH, DE,	DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC,	PT,
	IE, SI,	LT, LV,	FI, RO,	MK, CY, AL, TR	
JP 20023	308801	A2	20021023	3 JP 2002-50579 20020227	
PRIORITY APPI	LN. INFO.	:		US 2001-272619P P 20010301	
OTHER SOURCE	MAF	RPAT 137:	195595		

AB The invention provides a method for treating depression, obsessive compulsive disorder, and psychosis in a mammal, including a human, by administering to the mammal an atypical antipsychotic in combination with an antidepressant agent with improvement in efficiency. It also provides pharmaceutical compns. containing a pharmaceutically acceptable carrier, an atypical antipsychotic, and a serotonin reuptake inhibitor.

```
IT 289716-79-6 289716-94-5 289717-01-7 289717-16-4 289717-18-6 289717-24-4 289717-48-2 289717-50-6 289717-52-8 289717-53-9 289717-54-0 289717-55-1 289717-56-2 289717-57-3 289717-58-4 289717-59-5 289717-60-8 289717-61-9 289717-65-3 289717-63-1 289717-64-2 289717-65-3 289717-69-7 289717-70-0 289717-71-1 289717-72-2 289717-73-3 289717-74-4 289717-75-5 444888-21-5
```

RN

CN

444888-23-7 444888-24-8 444888-25-9 444888-27-1 444888-28-2 444888-29-3 444888-30-6 444888-31-7 444888-32-8 444888-33-9 444888-34-0 444888-35-1 444888-36-2 444888-37-3 444888-38-4 444888-39-5 444888-40-8 444888-41-9 444888-42-0 444888-43-1 444888-45-3 444888-46-4 444888-49-7 454456-38-3 454456-43-0 454456-66-7 454456-75-8 454473-98-4 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and psychosis) 289716-79-6 HCAPLUS Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl) - (9CI) (CA INDEX NAME)

RN 289716-94-5 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-01-7 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4(trifluoromethyl)- (9CI) (CA INDEX NAME)

289717-16-4 HCAPLUS

Cl

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

MeNH-CH2

RN

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-24-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-(9CI) (CA INDEX NAME)

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl](9CI) (CA INDEX NAME)

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Me₂N-CH₂

OMe C1

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-67-5 HCAPLUS

Cl

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-71-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 444888-21-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α , α -trimethyl- (9CI) (CA INDEX NAME)

RN 444888-23-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 444888-24-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-4-methoxy-N-methyl-(9CI) (CA INDEX NAME)

RN 444888-25-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(dimethylamino)-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{Me}_2\text{N} \end{array}$$

RN 444888-27-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 444888-28-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,α-dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 444888-29-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 444888-30-6 HCAPLUS

CN Benzenemethanamine, 5-chloro-2-(3,4-dichlorophenoxy)- α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 444888-31-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-32-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-33-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α , α -trimethyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-34-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylthio)-(9CI) (CA INDEX NAME)

RN 444888-35-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{Me}-\text{S} \\ \\ \text{O} \end{array}$$

RN 444888-36-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfinyl)-(9CI) (CA INDEX NAME)

RN 444888-37-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 444888-38-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \text{O} \\ \text{Me}-\text{S} \\ \text{O} \\ \text{C1} \end{array}$$

RN 444888-39-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-[(1methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 444888-40-8 HCAPLUS

CN Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN

444888-41-9 HCAPLUS Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) CN (CA INDEX NAME)

RN444888-42-0 HCAPLUS

Morpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI) CN (CA INDEX NAME)

RN 444888-43-1 HCAPLUS
CN Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,2-dimethyl- (9CI)
(CA INDEX NAME)

RN 444888-45-3 HCAPLUS
CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,5-dimethyl(9CI) (CA INDEX NAME)

RN 444888-46-4 HCAPLUS
CN Thiomorpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI)
(CA INDEX NAME)

RN 444888-49-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 454456-38-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N,α-trimethyl-(9CI) (CA INDEX NAME)

RN 454456-43-0 HCAPLUS

CN Benzenemethanamine, 5-fluoro-2-(4-fluoro-3-methoxyphenoxy)-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 454456-66-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,α-dimethyl-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 454456-75-8 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]phenyl]-(9CI) (CA INDEX NAME)

RN 454473-98-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-ar-fluoro-N-methyl- (9CI) (CA INDEX NAME)

D1-F

L51 ANSWER 13 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:595509 HCAPLUS

DOCUMENT NUMBER:

137:135106

TITLE:

Combination of a 5-HT3 receptor antagonist with a serotonin reuptake inhibitor for the treatment of

depression

INVENTOR(S):

Howard, Harry R.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DAT	ΓE	APPLICATION NO	Ç.	DATE		
	 -						
US 2002107244		20808	US 2001-2303		20011102	7	
EP 1230921	A1 200	020814	EP 2002-25054	1	20020128		
R: AT, B	E, CH, DE, DH	K, ES, FR, G	B, GR, IT, LI,	LU,	NL, SE,	MC,	PΤ,
IE, S	I, LT, LV, FI	I, RO, MK, C	Y, AL, TR				
JP 2002275097	A2 200	020925	JP 2002-20186		20020129		
BR 2002000246	A 200	021029	BR 2002-246		20020131		
PRIORITY APPLN. IN	FO.:	US	2001-266340P	P	20010202		
OTHER SOURCE(S):	MARPAT	137:135106					

AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a 5-HT3 receptor antagonist in combination with a serotonin reuptake inhibitor (SRI) antidepressant agent with improvement in sexual function and/or reduction in gastro-intestinal side effects. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a 5-HT3 receptor antagonist and an SRI antidepressant. The ratio of the 5-HT3 receptor antagonist and the SRI antidepressant agent is between 0.001 to 1 and 1000 to 1, and especially between 0.01 to I and 100 to 1 (no data).

IT 289716-79-6 289716-94-5 289717-01-7 289717-16-4 289717-18-6 289717-24-4 289717-48-2 289717-50-6 289717-52-8 289717-53-9 289717-54-0 289717-55-1 289717-56-2 289717-57-3 289717-58-4 289717-69-5 289717-63-1 289717-64-2 289717-65-3 289717-66-4 289717-67-5

289717-68-6 289717-69-7 289717-70-0 289717-71-1 289717-72-2 289717-73-3 289717-74-4 289717-75-5 444888-21-5 444888-22-6 444888-23-7 444888-24-8 444888-25-9 444888-26-0 444888-27-1 444888-28-2 444888-29-3 444888-30-6 444888-31-7 444888-32-8 444888-33-9 444888-34-0 444888-35-1 444888-36-2 444888-37-3 444888-38-4 444888-39-5 444888-40-8 444888-41-9 444888-42-0 444888-43-1 444888-45-3 444888-46-4 444888-48-6 444888-49-7 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of 5-HT3 receptor antagonist with serotonin reuptake inhibitor for treatment of depression) RN 289716-79-6 HCAPLUS CNBenzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl) - (9CI) (CA INDEX NAME)

$$F_{3}C$$

$$C1$$

RN 289716-94-5 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-01-7 HCAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-24-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-(9CI) (CA INDEX NAME)

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-(9CI) (CA INDEX NAME)

RN 289717-50-6 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N, α -trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

MeO

C1

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N, α -dimethyl- (9CI) (CA INDEX NAME)

NHMe CH— Me

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{Me} \end{array}$$

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Me₂N-CH₂
O
Cl
OMe
Cl

RN .289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

MeNH-CH₂

NC

C1

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

MeNH-CH2

Me

C1

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 289717-67-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-71-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 444888-21-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α , α -trimethyl- (9CI) (CA INDEX NAME)

RN 444888-22-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N, α , α -tetramethyl- (9CI) (CA INDEX NAME)

RN 444888-23-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 444888-24-8 HCAPLUS

C1

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-4-methoxy-N-methyl-(9CI) (CA INDEX NAME)

RN 444888-25-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(dimethylamino)-N,N-dimethyl-(9CI) (CA INDEX NAME)

$$Me_2N-CH_2$$

RN 444888-26-0 HCAPLUS

CN Benzenemethanamine, 5-fluoro-2-(4-fluoro-3-methoxyphenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Me₂N-CH₂

RN 444888-27-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 444888-28-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, α -dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 444888-29-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 444888-30-6 HCAPLUS

CN Benzenemethanamine, 5-chloro-2-(3,4-dichlorophenoxy)- α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 444888-31-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-32-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,α-dimethyl-5-(methylthio)-(9CI) (CA INDEX NAME)

RN 444888-33-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α , α -trimethyl-5-(methylthio)- (9CI) (CA INDEX NAME)

RN 444888-34-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylthio)-(9CI) (CA INDEX NAME)

RN 444888-35-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfinyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{Me}-\text{S} \\ \\ \text{O} \end{array}$$

RN 444888-36-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfinyl)(9CI) (CA INDEX NAME)

RN 444888-37-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 444888-38-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \text{O} \\ \text{Me}-\text{S} \\ \text{O} \\ \text{C1} \end{array}$$

RN 444888-39-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

444888-40-8 HCAPLUS RN

CNPiperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI)

RN444888-41-9 HCAPLUS

Piperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) CN (CA INDEX NAME)

RN

444888-42-0 HCAPLUS Morpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI) (CA INDEX NAME)

444888-43-1 HCAPLUS RN

CNPiperidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,2-dimethyl- (9CI) (CA INDEX NAME)

RN 444888-45-3 HCAPLUS
CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1,5-dimethyl(9CI) (CA INDEX NAME)

RN 444888-46-4 HCAPLUS
CN Thiomorpholine, 3-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-4-methyl- (9CI)
(CA INDEX NAME)

RN 444888-48-6 HCAPLUS CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-(propylsulfonyl)- (9CI) (CA INDEX NAME)

444888-49-7 HCAPLUS RN

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-5-(methylsulfonyl) - (9CI) (CA INDEX NAME)

L51 ANSWER 14 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:293652 HCAPLUS

DOCUMENT NUMBER:

136:325531

TITLE:

Preparation of (poly)azanaphthalenyl carboxamides as

HIV integrase inhibitors

INVENTOR(S):

Anthony, Neville J.; Gomez, Robert P.; Young, Steven

D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk,

Timothy W.

PATENT ASSIGNEE(S):

SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 434 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
     WO 2002030930
                       A2
                            20020418
                                           WO 2001-US31456 20011009
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU/ 2002011527
                       Α5
                            20020422
                                           AU 2002-11527
                                                             20011009
     EP 1326865
                            20030716
                                           EP 2001-979582
                       Α2
                                                             20011009
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL; TR
     US 2003055071
                            20030320
                                           US 2001-973853
                       A1
                                                             20011010
PRIORITY APPLN. INFO.:
                                        US 2000-239707P
                                                         Ρ
                                                             20001012
                                        US 2001-281656P
                                                         Ρ
                                                             20010405
                                        WO 2001-US31456 W
                                                             20011009
OTHER SOURCE(S):
                         MARPAT 136:325531
GΙ
```

AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted

alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prepared I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20 μM .

IT 175136-89-7, 2-Aminomethyl 3-chlorodiphenyl ether
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN 175136-89-7 HCAPLUS

CN Benzenemethanamine, 2-chloro-6-phenoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \\ \hline \\ \text{CH}_2 - \text{NH}_2 \\ \\ \text{OPh} \end{array}$$

L51 ANSWER 15 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:171851 HCAPLUS

DOCUMENT NUMBER:

136:232110

TITLE:

Preparation of phenoxybenzylamines as selective

serotonin re-uptake inhibitors

INVENTOR(S):

Adam, Mavis Diane; Andrews, Mark David; Elliott, Mark Leonard; Gymer, Geoffrey Edward; Hepworth, David; Howard, Harry Ralph, Jr.; Middleton, Donald Stuart;

Stobie, Alan

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Inc.

SOURCE:

PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	rent :	NO.		KII	ND :	DATE			A	PPLI	CATI	ON NC	ο.	DATE			•
									_								
WO 2002018333		. A	A1 20020307			WO 2001-IB1521					2001						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,
•		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	

```
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001078650
                       Α5
                             20020313
                                            AU 2001-78650
                                                              20010822
     EP 1313701
                       Α1
                             20030528
                                            EP 2001-956734
                                                              20010822
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001013610
                       Α
                             20030624
                                            BR 2001-13610
                                                              20010822
     US 2003060456
                       A1
                             20030327
                                            US 2001-941177
                                                              20010827
     US 6610747
                        B2
                             20030826
     BG 107544
                             20031031
                                            BG 2003-107544
                                                              20030207
     NO 2003000842
                       Α
                             20030428
                                            NO 2003-842
                                                              20030224
     HR 2003000141
                       Α1
                             20030430
                                            HR 2003-141
                                                              20030226
PRIORITY APPLN. INFO.:
                                         GB 2000-21593
                                                              20000831
                                                           Α
                                         GB 2001-7116
                                                              20010321
                                                           Α
                                         US 2000-240271P
                                                           Ρ
                                                              20001013
                                         US 2001-292400P
                                                           Ρ
                                                              20010521
                                         WO 2001-IB1521
                                                              20010822
                                                           W
OTHER SOURCE(S):
                         MARPAT 136:232110
GΙ
```

AB Title compds. I [R1 and R2 independently = H, alkyl or (CH2)n(C3-C6cycloalkyl) wherein n = 0, 1, 2 or 3; or R1 and R2 together with the nitrogen to which they are attached from an azetidine ring; Z or Y is -SR3 and the other Z or Y is halogen or -R3; wherein R3 = C1-4 alkyl optionally substituted with fluorine; except that R3 is not CF3; or Z and Y are linked so that, together with the interconnecting atoms, Z and Y form a fused 5 to 7-membered carbocyclic or heterocyclic ring, and wherein when Z and Y form a heterocyclic ring, in addition to carbon atoms, the linkage contains one or two heteroatoms independently selected from O, S and N; R4 and R5 independently = A-X, wherein A = -CH=CH- or -(CH2)pwhere p is 0, 1 or 2; X = H, halo, CONR6R7, SO2NR6R7, SO2NHC(=O)R6, OH, C1-4alkoxy, etc; or A-X = (un)substituted 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O; R6 and R7 independently = H, (un)substituted alkyl; or R6 and R7 together with the N to which they are attached form a (un)substituted 4-6 membered heterocyclic ring] and there pharmaceutically acceptable salts are prepared Thus, II was prepared via substitution of 5-(aminosulfonyl)-2-fluoro-N-methylbenzamide by 2,3-dihydrobenzo[b]thiophen-5-ol with successive BF3·THF catalyzed amide reduction, formylation of secondary amine, and reduction II demonstrated a serotonin re-uptake inhibition IC50 of 4.7nM. I inhibit monoamine re-uptake and in particular exhibit activity as selective serotonin reuptake inhibitors.

IT 402912-23-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of serotonin re-uptake inhibitors phenoxybenzylamines)

RN 402912-23-6 HCAPLUS

CN Benzenemethanamine, N-methyl-2-[3-methyl-4-(methylthio)phenoxy]-5-nitro-(9CI) (CA INDEX NAME)

IT 402910-43-4P 402910-50-3P 402910-61-6P 402910-62-7P 402910-90-1P 402910-96-7P 402911-10-8P 402911-12-0P 402911-20-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation of serotonin re-uptake inhibitors phenoxybenzylamines)

RN 402910-43-4 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[3-methyl-4-(methylthio)phenoxy]-5-nitro- (9CI) (CA INDEX NAME)

RN 402910-50-3 HCAPLUS

CN Benzenemethanamine, 4-bromo-N, N-dimethyl-2-[3-methyl-4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

Br

RN 402910-61-6 HCAPLUS

Ме

CN Benzenemethanamine, 5-bromo-N-methyl-2-[3-methyl-4-(methylthio)phenoxy]-(9CI) (CA INDEX NAME)

RN 402910-62-7 HCAPLUS

CN Benzenemethanamine, N-methyl-2-[3-methyl-4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 402910-90-1 HCAPLUS

CN Benzonitrile, 4-[3-fluoro-4-(methylthio)phenoxy]-3-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 402910-96-7 HCAPLUS

CN Benzonitrile, 3-[(methylamino)methyl]-4-[3-methyl-4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 402911-10-8 HCAPLUS

CN Benzonitrile, 3-[(dimethylamino)methyl]-4-[3-methyl-4-(methylthio)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 402911-12-0 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[3-methyl-4-(methylthio)phenoxy]-,

hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 402911-20-0 HCAPLUS

CN Benzenemethanamine, 5-amino-N, N-dimethyl-2-[3-methyl-4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

$$H_2N$$
 H_2N Me

IT 402910-41-2P 402910-54-7P 402910-56-9P 402910-59-2P 402910-60-5P 402911-11-9P 402911-49-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of serotonin re-uptake inhibitors phenoxybenzylamines)

RN 402910-41-2 HCAPLUS

CN Benzenemethanamine, 5-methoxy-N,N-dimethyl-2-[3-methyl-4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

RN 402910-54-7 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-[3-fluoro-4-(methylthio)phenoxy]-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

MeNH-CH₂

Br

SMe

● HCl

RN 402910-56-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-[3-chloro-4-(methylthio)phenoxy]-N-methyl-(9CI) (CA INDEX NAME)

MeNH-CH₂

Br

Cl

RN 402910-59-2 HCAPLUS

CN Benzenemethanamine, 2-[(2,3-dihydro-1H-inden-5-yl)oxy]-N-methyl-5-nitro-(9CI) (CA INDEX NAME)

MeNH-CH₂

RN 402910-60-5 HCAPLUS

CN Benzenemethanamine, 5-methoxy-N-methyl-2-[3-methyl-4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN 402911-11-9 HCAPLUS

CN Benzonitrile, 3-[(dimethylamino)methyl]-4-[3-fluoro-4-(methylthio)phenoxy]-, monohydrochloride (9Cl) (CA INDEX NAME)

● HCl

RN 402911-49-3 HCAPLUS

CN Benzonitrile, 3-[(methylamino)methyl]-4-[3-methyl-4-(methylthio)phenoxy]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 16 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:169117 HCAPLUS

DOCUMENT NUMBER:

136:216641

TITLE:

Preparation of phenoxyphenylheterocycles as selective

serotonin reuptake inhibitors (SSRIs)

INVENTOR(S):

Andrews, Mark David; Hepworth, David; Middleton,

Donald Stuart; Stobie, Alan

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Inc.

SOURCE:

Eur. Pat. Appl., 46 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English 1

PATENT INFORMATION:

PAT	PATENT NO.			KIND DATE				APPLICATION NO.									
EP	1184	372		A	1	2002	0306		El	20	01-30	07032	2	2001	0817		
	R:	•		•			•	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SĨ,	LT,	LV,	FΙ,	RO									*	
US	2002	1833	03	A.	1	2002	1205		U:	3 20	01-93	3947	5	2001	0824		
US	6630	504		B	2	2003	1007										
BR	2001	00379	97	А		2002	0604		BI	₹ 20	01-3	797		2001	0830		
PRIORITY	Y APP	LN.	INFO	. :				G	B 20	000-	21594	4	Α	2000	0831		
								G	SB 20	001-	5634		Α	20 Ò 1	0307		
								τ	JS 20	000-	24032	26P	P	2000	1013		

OTHER SOURCE(S):

MARPAT 136:216641

GΙ

$$R5$$
 $R4$
 $R3$
 $R4$
 $R4$
 $R3$
 $R4$
 $R4$
 $R3$
 $R4$
 $R4$
 $R5$
 $R4$
 $R5$
 $R4$
 $R5$
 $R5$
 $R6$

The title compds. [I; R1 = H, alkyl; R2, R3, together with the AB interconnecting atoms, form a 4-8 membered saturated ring containing 1-2 heteroatoms (including the N atom to which R2 is attached) wherein a second heteroatom, if present, is selected from O, N and S; Z = CF3, OCF3, alkylthio or alkoxy; Y = H, halo, ORa, Ra or alkylthio (wherein Ra = alkyl optionally substituted with F atoms); or when Z and Y are attached para and meta to the ether linkage linking rings A and B, Z and Y are linked so that, together with the interconnecting atoms, Z and Y form a fused 5-7 membered carbocyclic or heterocyclic ring which may be saturated, unsatd. or aromatic; R4, R5 = AX (wherein A = CH:CH, (CH2)p; p = 0-2; X = H, F, Cl, OH, etc.)] and their salts, useful for the treatment of prevention of a disorder in which the regulation of monoamine transporter function is implicated, were prepared and formulated. Thus, reducing

II

 $5-\{2-[4-(methylsulfanyl)phenoxy]phenyl\}-3,4-dihydro-2H-pyrrole (preparation given) with NaBH4 in EtOH afforded 72% II.HCl which showed IC50 of <math>\leq 100$ nM in test for serotonin re-uptake inhibition.

IT 402714-37-8P 402714-38-9P 402714-39-0P 402714-48-1P 402714-52-7P 402714-53-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenoxyphenylpyrrolidines as selective serotonin reuptake inhibitors (SSRIs))

RN 402714-37-8 HCAPLUS

CN Pyrrolidine, 2-[2-[4-(methylthio)phenoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 402714-38-9 HCAPLUS

CN Pyrrolidine, 2-[2-[4-(trifluoromethyl)phenoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 402714-39-0 HCAPLUS

CN Pyrrolidine, 2-[2-[4-(trifluoromethoxy)phenoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

HCl

● HCl

RN 402714-52-7 HCAPLUS
CN Pyrrolidine, 2-[5-nitro-2-[4-(trifluoromethoxy)phenoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 402714-53-8 HCAPLUS
CN Pyrrolidine, 2-[5-nitro-2-[4-(trifluoromethyl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)

IT 402714-40-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenoxyphenylpyrrolidines as selective serotonin reuptake inhibitors (SSRIs))

RN 402714-40-3 HCAPLUS

CN Pyrrolidine, 2-[5-bromo-2-[(2,3-dihydro-1H-inden-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)

IT 402714-74-3P 402714-75-4P 402714-94-7P

402714-95-8P 402714-96-9P 402714-97-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenoxyphenylpyrrolidines as selective serotonin reuptake inhibitors (SSRIs))

RN 402714-74-3 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[5-amino-2-[4- (trifluoromethoxy)phenoxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 402714-75-4 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[5-amino-2-[4-(trifluoromethyl)phenoxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 402714-94-7 HCAPLUS

CN Pyrrolidine, 2-[2-[4-(methylthio)phenoxy]phenyl]-1-(trifluoroacetyl)-(9CI) (CA INDEX NAME)

RN 402714-95-8 HCAPLUS

CN Pyrrolidine, 1-(trifluoroacetyl)-2-[2-[4-(trifluoromethyl)phenoxy]phenyl](9CI) (CA INDEX NAME)

RN 402714-96-9 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[5-nitro-2-[4-(trifluoromethoxy)phenoxy]phenyl]-, 1,1-dimethylethyl ester (9CI) (CAINDEX NAME)

RN 402714-97-0 HCAPLUS

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 17 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

4

ACCESSION NUMBER:

2001:730683 HCAPLUS

DOCUMENT NUMBER:

135:288572

TITLE:

Preparation of diphenyl ether compounds as serotonin

re-uptake inhibitors

INVENTOR(S):

Andrews, Mark David; Hepworth, David; Middleton,

Donald Stuart; Stobie, Alan

PATENT ASSIGNEE(S):

Pfizer Limited, UK; Pfizer Inc.

SOURCE:

PCT Int. Appl., 158 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

DOCUMENT TIPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					DATE			A		CATI	0	DATE					
WC	2001	 0726	87	A1 20011004				W			_	20010319						
	W:.	ΑE,	AG,	AL,	ΑM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	ĹS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NΖ,	PL,	PT,	RO,	
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM				
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
US	2002	2002052395			1 20020502			•	U	s 20	01-8	1037	8	2001	0316			
US	6448	6448293			B2 20020910													
EP	1268	396		Α	1	2003	0102		E	P 20	01-9	1734	7	2001	0319			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
BF	2001	0095	47	Α		2003	0610		В	R 20	01-9		2001	0319				
NZ	5199	72		А		2003	0725		N	Z 20	01-5	1997:	2	20010319				
JP	2003	5288	45	\mathbf{T}	2	2003	0930		J	P 20	01-5	70602	2	20010319				
BG	1069	12		Α		2003	0131		В	G 20	02-1	0691	2	2002	0709			
NC	2002	0046	63	Α		2002	0927		N	0 20	02-4	663		2002	0927			
PRIORIT	Y APP	LN.	INFO	. :				(GB 2	000-	7884		Α	2000	0331			
								Ţ	JS 2	000-	1971	27P	P	2000	0414			
								Ţ	WO 2	001-	IB42	8	W	2001	0319			
OTHER S	OURCE	(S):			MARPAT 135:288572													

GΙ

AΒ Title compds. I [wherein R1 and R2 = independently H or (cycloalkyl)alkyl; or R1 and R2 together with the N to which they are attached form an azetidine ring; R3 = independently CF3, OCF3, alkylthio, or alkoxy; n = 1-3; R4 and R5 = independently AX; A = CH:CH or (CH2)p; p = 0-2; X = H, halo, OH, alkoxy, NO2, CN, CHO, alkylthio, alkylsulfinyl, alkylsulfonyl, or (un)substituted carbamoyl, sulfamoyl, amino, carboxy, etc.; or pharmaceutically acceptable salts, solvates, or polymorphs thereof] were prepared as monoamine re-uptake inhibitors, particularly as selective serotonin re-uptake inhibitors. For example, 4-(methylmercapto)phenol was coupled with 2-fluorobenzaldehyde using K2CO3 in DMF to give 2-[4-(methylsulfanyl)phenoxy]benzaldehyde (100%). The aldehyde was dissolved in THF, DCM, Me2NH \bullet HCl, and TEA, treated with NaBH(OAc)3, and converted to the salt with 1M HCl in Et2O to afford N,N-dimethyl-N-[2-[4-(methylsulfanyl)phenoxy]benzyl]amine \bullet HCl (84%). Coupling the salt with C1SO3H in CH2Cl2 at 0° to 5°C, followed by stepwise addition of MeCN with POCl3 and ammonia, produced the desired sulfonamide (II) in 61% yield. The latter showed serotonin re-uptake inhibition (SRI) activity with IC50 \leq 50 nM and was > 100-fold as potent in the inhibition of serotonin re-uptake than in the the inhibition of dopamine and noradrenaline re-uptake. I are useful in the treatment of disorders such as depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder, substance abuse disorders, and sexual dysfunction, including premature ejaculation (no data).

IT 289717-37-9P 364323-82-0P 364323-83-1P 364323-84-2P 364323-85-3P 364323-86-4P 364323-87-5P 364323-88-6P 364323-89-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of di-Ph ether compds. as serotonin re-uptake inhibitors)

RN 289717-37-9 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 364323-82-0 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-83-1 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-2-[4-(trifluoromethyl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 364323-84-2 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-85-3 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-[3-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

$$Me_2N-CH_2$$
 $O-CF_3$

RN 364323-86-4 HCAPLUS

CN Benzenemethanamine, 2-(4-bromophenoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 364323-87-5 HCAPLUS

CN Benzenemethanamine, N-methyl-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

MeNH-CH2

RN 364323-88-6 HCAPLUS

CN Benzenemethanamine, N-methyl-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

MeNH-CH₂

RN 364323-89-7 HCAPLUS

CN Benzenemethanamine, 2-[4-(ethylthio)phenoxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Me₂N-CH₂

IT 364321-43-7P 364321-47-1P 364321-48-2P 364321-49-3P 364321-52-8P 364321-53-9P 364321-54-0P 364321-56-2P 364321-57-3P 364321-58-4P 364321-59-5P 364321-61-9P 364321-62-0P 364321-64-2P 364321-65-3P 364321-66-4P 364321-67-5P 364321-68-6P 364321-70-0P 364322-28-1P 364322-29-2P 364322-33-8P 364322-34-9P 364322-35-0P 364322-36-1P 364322-37-2P 364322-59-8P 364322-96-3P 364322-97-4P 364322-98-5P 364323-48-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of di-Ph ether compds. as serotonin re-uptake inhibitors) ${\tt RN} - 364321\text{-}43\text{-}7 - {\tt HCAPLUS}$

CN Benzenemethanamine, 5-bromo-N, N-dimethyl-2-[4-(trifluoromethyl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 364321-47-1 HCAPLUS

CN Benzenemethanamine, 5-bromo-N, N-dimethyl-2-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-48-2 HCAPLUS

CN Benzenemethanamine, 4-bromo-N, N-dimethyl-2-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-49-3 HCAPLUS

CN Benzenemethanamine, 5-bromo-N,N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl -

RN 364321-52-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-N, N-dimethyl-2-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-53-9 HÇAPLUS

CN Benzenemethanamine, 4-bromo-N, N-dimethyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-54-0 HCAPLUS

CN Benzenemethanamine, 5-methoxy-N,N-dimethyl-2-[4-(methylthio)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-56-2 HCAPLUS

CN Benzenemethanamine, 5-iodo-N, N-dimethyl-2-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-57-3 HCAPLUS

CN Benzenemethanamine, 5-iodo-N-methyl-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-58-4 HCAPLUS

CN Benzenemethanamine, 5-iodo-N, N-dimethyl-2-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-59-5 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-2-[4-(methylthio)phenoxy]-5-nitro-(9CI) (CA INDEX NAME)

RN 364321-61-9 HCAPLUS

CN Benzenemethanamine, N-methyl-5-nitro-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-62-0 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-5-nitro-2-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-64-2 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-5-nitro-2-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-65-3 HCAPLUS

CN Benzenemethanamine, 5-bromo-N-methyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-66-4 HCAPLUS

CN Benzenemethanamine, 4-bromo-N-methyl-2-[4-(trifluoromethoxy)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 364321-67-5 HCAPLUS

CN Benzenemethanamine, 5-methoxy-N-methyl-2-[4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 364321-68-6 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-[3-methoxy-4-(methylthio)phenoxy]-N-methyl-(9CI) (CA INDEX NAME)

RN 364321-70-0 HCAPLUS

CN Benzenemethanamine, 5-bromo-N-methyl-2-[4-(methylthio)-3-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-28-1 HCAPLUS

CN Benzenesulfonamide, 2-bromo-5-[(methylamino)methyl]-4-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ H_2N-S & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 364322-29-2 HCAPLUS

CN Benzenesulfonamide, 5-[(methylamino)methyl]-2-(methylthio)-4-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-33-8 HCAPLUS

CN Benzonitrile, 4-[(dimethylamino)methyl]-3-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364322-34-9 HCAPLUS

CN Benzonitrile, 3-[(dimethylamino)methyl]-4-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364322-35-0 HCAPLUS

CN Benzonitrile, 3-[(dimethylamino)methyl]-4-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364322-36-1 HCAPLUS

CN Benzonitrile, 3-[(dimethylamino)methyl]-4-[4-(methylthio)phenoxy]- (9CI)

(CA INDEX NAME)

Me₂N-CH₂

RN 364322-37-2 HCAPLUS

CN Benzonitrile, 4-[(dimethylamino)methyl]-3-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

Me₂N-CH₂
O-CF₃

RN 364322-59-8 HCAPLUS

CN Benzenemethanamine, 5-amino-N, N-dimethyl-2-[4-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

 Me_2N-CH_2 H_2N CF_3

RN 364322-60-1 HCAPLUS

CN Benzenemethanamine, 5-amino-N, N-dimethyl-2-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

 $\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{H}_2\text{N} \end{array} \\ \text{O-CF}_3$

RN 364322-61-2 HCAPLUS

CN Benzenemethanamine, 5-amino-N,N-dimethyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-95-2 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-5-(methylthio)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-96-3 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-4-(methylthio)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-97-4 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-5-(methylthio)-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-98-5 HCAPLUS

CN Benzenemethanamine, 4-(ethylthio)-N,N-dimethyl-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-48-8 HCAPLUS

CN Benzenemethanamine, 5-chloro-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

IT 364321-41-5P 364321-44-8P 364321-45-9P 364321-50-6P 364321-51-7P 364321-55-1P 364322-30-5P 364322-31-6P 364322-32-7P 364322-38-3P 364322-89-4P 364322-99-6P 364323-00-2P 364323-01-3P 364323-02-4P

364323-04-6P 364323-05-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of di-Ph ether compds. as serotonin re-uptake inhibitors)

RN 364321-41-5 HCAPLUS

CN Benzenemethanamine, 5-bromo-N,N-dimethyl-2-[3-(trifluoromethyl)phenoxy]-(9CI) (CA INDEX NAME)

RN 364321-44-8 HCAPLUS

CN Benzenemethanamine, 5-fluoro-N-methyl-2-[4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN · 364321-45-9 HCAPLUS

CN Benzenemethanamine, N-ethyl-5-fluoro-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-50-6 HCAPLUS

CN Benzenemethanamine, 5-fluoro-N, N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 364321-51-7 HCAPLUS

CN Benzenemethanamine, 4-bromo-N-methyl-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364321-55-1 HCAPLUS

CN Benzenemethanamine, 4,5-dimethoxy-N,N-dimethyl-2-[4-(methylthio)phenoxy]-(9CI) (CA INDEX NAME)

RN 364322-30-5 HCAPLUS

CN Benzenesulfonamide, 2-bromo-5-[(dimethylamino)methyl]-4-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2N-\text{CH}_2 \\ \text{O} \\ \text{H}_2N-\text{S} \\ \text{O} \\ \text{Br} \end{array}$$

RN 364322-31-6 HCAPLUS

CN Benzenesulfonamide, 5-[(dimethylamino)methyl]-2-(methylthio)-4-[4-(trifluoromethoxy)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2 \\ \text{O} \\ \text{H}_2\text{N}-\text{S} \\ \text{O} \\ \text{SMe} \end{array} \quad \text{O-CF}_3$$

● HCl

RN 364322-32-7 HCAPLUS

CN Benzonitrile, 3-[(methylamino)methyl]-4-[4-(trifluoromethoxy)phenoxy]-(9CI) (CA INDEX NAME)

RN 364322-38-3 HCAPLUS

CN Benzonitrile, 3-[(methylamino)methyl]-4-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-89-4 HCAPLUS

CN Benzenemethanamine, 5-chloro-N, N-dimethyl-2-[4-(methylthio)phenoxy]- (9CI) (CA INDEX NAME)

RN 364322-99-6 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-5-(methylsulfonyl)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-00-2 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-5-(methylsulfinyl)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-01-3 HCAPLUS

CN Benzenemethanamine, N, N-dimethyl-5-(methylsulfinyl)-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-02-4 · HCAPLUS

CN Benzenemethanamine, 4-(ethylsulfinyl)-N,N-dimethyl-2-[4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-04-6 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-4-(methylsulfinyl)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 364323-05-7 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-4-(methylsulfonyl)-2-[4-(trifluoromethoxy)phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 18 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

7

ACCESSION NUMBER:

2001:693325 HCAPLUS

DOCUMENT NUMBER:

135:257243

TITLE:

Preparation of condensed imidazoles as histamine H3

receptor ligands INVENTOR(S): Andersen, Knud Erik; Doerwald, Florencio Zaragoza; Sidelmann, Ulla Grove; Rudolf, Klaus; Stenkamp, Dirk; Hurnaus, Rudolf; Mueller, Stephan Georg; Krist, Bernd; Eriksen, Birgitte; Pesche, Bernd PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H. SOURCE: PCT Int. Appl., 170 pp. CODEN: PIXXD2

DOCUMENT TYPE:

GT

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. WO 2001068652 À1 20010920 WO 2001-DK188 20010316 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2002058659 Α1 20020516 US 2001-810237 20010316 US 6437147 В2 20020820 EP 1268484 20030102 EP 2001-916934 Α1 20010316 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2003527395 T2 20030916 JP 2001-567743 20010316 US 2003135056 20030717 Α1 US 2002-201865 20020723 PRIORITY APPLN. INFO.: DK 2000-441 Ά 20000317 DK 2000-1016 Α 20000629 US 2000-193741P 20000331 Ρ US 2000-216553P P 20000707 US 2001-810237 A1 20010316 WO 2001-DK188 W 20010316 OTHER SOURCE(S): MARPAT 135:257243

A novel class of imidazo heterocyclic compds. (shown as I (e.g. AΒ 4,5,6,7-tetrahydro-1H-benzimidazole-5-carboxylic acid [(1S)-(naphth-1-

yl)ethyl]amide) as well as any optical or geometric isomer or tautomeric form thereof including mixts. of these or a pharmaceutically acceptable salt thereof), pharmaceutical compns. comprising them and use thereof in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor. In I: R1 is H or a functional group, which can be converted to H in vivo. R2 is H, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylthio, halogen, cyano, trifluoromethyl, hydroxy, thiol or amino. ${\tt R3}$ and ${\tt R4}$ independently are H or C1-6-alkyl, which is optionally substituted with aryl or heteroaryl, which are optionally substituted with one or more substituents selected from nitro, -NR7R8, -S(O)2NR7R8, -C(O)NR7R8, hydroxy, halogen, cyano, trifluoromethyl, -OCF3, -OCHF2, -OCH2CHF2, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkoxy, C1-6-alkylthio, C1-6-alkylsulfonyl, -C(0)OR7, C1-6-alkylcarbonyl, -C(:NOR7)C1-6-alkyl, C3-10-cycloalkyl, C3-10-cycloalkylcarbonyl, -C(:NOR7)C3-10-cycloalkyl, aryl-C1-6-alkyl, heteroaryl-C1 6-alkyl, arylamino, heteroarylamino, aroyl. heteroaroyl, arylsulfonyl, heteroarylsulfonyl, -C(:NOR7)aryl, -C(:NOR7)heteroaryl, arylthio, heteroarylthio, aryloxy and heteroaryloxy. R7 and R8 independently are H or C1-6-alkyl. M is 0-2; n is 1-4; X is a valence bond, -O-, -S-, -S(O)-, -S(O)2- or -CF2-; p is O-3; Y is valence bond, -O-, -S-, or -NR9-, wherein R9 is H or C1-6-alkyl; V is :O, :S, :NR10 (R10 = H, cyano, nitro, C1-6-alkyl); W is valence bond, -O-, -S-, -NR11- (R11 = H, C1-6-alkyl); q is 0-3. Z is heteroaryl, aryl, aryloxy, C3-10-cycloalkyl, C3-8-heterocyclyl or aryl annulated with C3-8-heterocyclyl, C1-6-alkyl, C2-6-alkenyl or C2-6-alkynyl, which are optionally substituted with various provisos. More particularly, the compds. are useful for the treatment and/or prevention of diseases and disorders in which an interaction with the histamine H3 receptor is beneficial. The claimed compds. generally show a high binding affinity to the histamine H3 receptor, most preferably IC50 < 500 nM. Ninety-two example prepns. are included, but the methods of preparation are not claimed. Pharmaceutical compns. containing the compds. are claimed effective for reduction

of weight, suppression of appetite and treatment and/or prevention of eating disorders (e.g. bulimia, binge eating), impaired glucose tolerance (IGT), Type 2 diabetes, allergic rhinitis, ulcer, anorexia, diseases and disorders related to the serotonin-3 receptor (5-HT3; e.g. emesis), diseases and disorders related to the vanilloid receptor (e.g. pain, neurogenic inflammation, obesity), and diseases and disorders related to the alpha-2 adrenergic receptor (e.g. sleep inducing agent).

IT 361394-74-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of condensed imidazoles as histamine H3 receptor ligands)

RN 361394-74-3 HCAPLUS

CN Benzenemethanamine, N-methyl-2-phenoxy- (9CI) (CA INDEX NAME)

IT 175136-89-7 361394-40-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of condensed imidazoles as histamine H3 receptor ligands)

RN 175136-89-7 HCAPLUS

CN Benzenemethanamine, 2-chloro-6-phenoxy- (9CI) (CA INDEX NAME)

$$C1$$
 CH_2-NH_2
OPh

361394-40-3 HCAPLUS RN

Benzenemethanamine, 2-fluoro-6-(4-methoxyphenoxy)- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 19 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

6

2001:396851 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 135:19652

TITLE: 3,4-Dihydro-(1H)-quinazolin-2-ones and their use as

CSBP/p38 kinase inhibitors

Adams, Jerry L.; Bower, Michael J.; Hall, Ralph F.; INVENTOR(S):

Griswold, Don E.; Underwood, David C. Smithkline Beecham Corporation, USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND			ND	DATE			APPLICATION NO. DATE											
																		
	WO 2001038312			12	A1 20010531				W	O 20	00-U	61	20001121					
		W:	ΑE,	AL,	ΑU,	BA,	BB,	BG,	BR,	BZ,	CA,	CN,	CZ,	DZ,	EE,	GE,	GH,	GM,
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚP,	KR,	LC,	LĶ,	LR,	LT,	LV,	MA,	MG,
			MK,	MN,	MX,	ΜZ,	NO,	NZ,	PL,	RO,	SG,	SI,	SK,	SL,	TR,	TT,	TZ,	UA,
			US,	UZ,	VN,	YU,	ZA,	AM,	AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM		
		RW:	GH,	GM,	KE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
	•		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	·GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
EP 1235814				A.	1 .	2002		EP 2000-980569 20001121										

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003514899 T2 20030422

JP 2001-540075 20001121

PRIORITY APPLN. INFO.:

US 1999-166977P P 19991123

WO 2000-US31861 W 20001121

OTHER SOURCE(S):

MARPAT 135:19652

GΙ

AΒ Novel substituted quinazoline compds. are disclosed, specifically I [R1 = (un) substituted Ph, naphthyl, heterocyclyl or heteroaryl; R2 = (un) substituted alkyl, (hetero) aryl(alkyl), or heterocyclyl(alkyl); X = Oor S] and their pharmaceutically acceptable salts. Also disclosed are pharmaceutical compns. containing I, and use of I in therapy as CSBP/RK/p38 kinase inhibitors. Applications of I as such to a wide variety of arthritic, inflammatory, proliferative, and viral conditions are specifically claimed. Also claimed is use of I in conjunction with various other drugs or drug classes. Three examples of I were prepared and specifically claimed. For instance, 2,6-difluorobenzonitrile was coupled first with aniline using NaH in DMSO, and then with phenol using NaH in THF. The resulting 2-phenoxy-6-(phenylamino)benzonitrile underwent reduction of the nitrile to aminomethyl using LiAlH4, and the product underwent cyclocondensation with 1,1'-carbonyldiimidazole, to give title compound II. Representative compds. I had IC50 values < 50 μM in a CSBP/p38 kinase assay.

IT 342431-66-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of dihydroquinazolinones as CSBP/RK/p38 kinase inhibitors)

RN 342431-66-7 HCAPLUS

CN Benzenemethanamine, 2-amino-6-phenoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 20 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:283913 HCAPLUS

DOCUMENT NUMBER:

134:310974

TITLE:

Preparation of biaryl ether derivatives as monoamine

reuptake inhibitors

INVENTOR(S):

Howard, Harry Ralph, Jr.; Adam, Mavis Diane

PATENT ASSIGNEE(S):

Pfizer Products Inc., USA

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Ρ.	ATENT	KIND DATE						PPLI	CATI	DATE									
W	0 2001	.0270		A1 20010419					10 20	00-I	3	2000	0927						
	w:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ĒΕ,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,		
		HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,		
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,		
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,		
		YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	MT						
	RW:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,		
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PΤ,	SE,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
В	R 2000	0147	33	·A		20020611			E	R 20	00-1	4733		2000	0927				
E	P 1220																		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			•	LT,				•											
				A 2						EE 2002-191					20000927				
U	s 6410	1736				20020625			U	US 2000-692335					20001019				
N	0 2002	0016	59	Α		20020	0408	NO 2002-1659						20020408					
_	G 1066			Α		2002				G 20			_	2002					
	A 2002																		
	R 2002													2002					
	S 2003								U	S 20	02-1	5330	8	2002	0522				
	S 6596				2	2003	0722					•							
PRIORI	TY APP	LN.	INFO	. :										1999					
											-	. –		1999		•			
			•											2000					
										000-	6923	35	А3	2000	1019				
OTHER	SOURCE	(S):			MAR	PAT .	134:3	3109	/4										

GΙ

Ι

AB The title compds. [I; rings A and B can be replaced by naphthyl group; n, m = 1-3; R1, R2 = H, alkyl, alkenyl, etc.; NR1R2 = 4-8 membered saturated (un) substituted ring containing 1-2 heteroatoms, including N atom to which R1 and R2 are attached; R3, R4 = H, alkyl optionally substituted with 1-3 F atoms; CR3R4 = 4-8 membered saturated (un) substituted carbocyclic ring; NR2CR3 = 4-8 membered saturated (un) substituted ring containing 1-2 heteroatoms, including N atom to which R2 is attached; X = (un)substituted Ph, heteroaryl, heterocyclyl; Y = H, halo, alkyl optionally substituted with 1-3 F atoms, etc.; Z = H, halo, alkoxy, etc.] and their pharmaceutically acceptable salts which exhibit activity as serotonin, norepinephrine, and dopamine reuptake inhibitors and can be used in the treatment of central nervous system and other disorders, were prepared E.g., a 3-step synthesis of I [R1 = Me; R2-R4 = H; X = 5-Ph; Z = H; Y = 3,4-Cl2] was given. All exemplified compds. I showed IC50 of \leq 250 nM for serotonin reuptake inhibition, and IC50 of \leq 1000 nM for dopamine and for norepinephrine reuptake inhibition. TΤ

289717-61-9P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of biaryl ether derivs. as monoamine reuptake inhibitors) 289717-61-9 HCAPLUS

RN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) INDEX NAME)

334980-91-5P 334980-95-9P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of biaryl ether derivs. as monoamine reuptake inhibitors)

RN334980-91-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-nitro-(CA INDEX NAME)

RN 334980-95-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-nitro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 21 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:790459 HCAPLUS

DOCUMENT NUMBER:

133:350040

TITLE:

Preparation of agents for serotonin transporter SPECT

imaging

INVENTOR(S):

Kung, Hank F.

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND

APPLICATION NO. DATE

```
20001109
     WO 2000066537
                       Α1
                                           WO 1999-US9344
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
         W:
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 9936712
                       Α1
                            20001117
                                           AU 1999-36712
                                                             19990430
     EP 1175388
                       Α1
                            20020130
                                           EP 1999-918906
                                                             19990430
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002543173
                       T2
                            20021217
                                           JP 2000-615370
                                                             19990430
PRIORITY APPLN. INFO.:
                                        WO 1999-US9344
                                                        ٠A
                                                             19990430
OTHER SOURCE(S):
                         MARPAT 133:350040
GΙ
```

AB Title compds. [I; A = H, Cl, Br, iodo, LR; L = bond, (CH2)n, (CH2)nCO; R = tetradentate ligand capable of chelating a metal(sic); R2 = H or Me; X = Cl, Br, iodo, NO2, NR3R4, LR; R3,R4 = H, OH, alkyl, alkanoyl, etc.; 1 of Y,Y' = CH2NR1Me and the other = H, NO2, CH2OR5, CH2NR1Me, NR3R4, LR; Z = S, O, NR6, CR7R8, CO, C(:CR7R8); R1,R5,R6 = H, LR, alkyl, alkanoyl, Ph, naphthyl, etc.; R7,R8 = H, Cl, alkyl; n = 1-5], with the proviso that 1 and only 1 of A,X,Y,R1,R3-R6 is LR or with the proviso that 1 or both of X or A is iodo, were prepared Data for biol. activity of I were given. E.g., 2,5-dibromobenzoic acid was coupled with freshly prepared 2-HSC6H4CONMe2 with sodium methoxide as the base to give the bromo-substituted diaryl sulfide; coupling with Bu3SnSnBu3 in the presence of a palladium catalyst followed by substitution of the tin with iodine to give IDAM II.

IT 305384-74-1

RN

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation of agents for serotonin transporter SPECT imaging) 305384-74-1 HCAPLUS

CN Benzenemethanamine, 2-(4-iodophenoxy)-N, N-dimethyl- (9CI) (CA INDEX NAME)

IT 291781-27-6P

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(preparation of agents for serotonin transporter SPECT imaging)

RN 291781-27-6 HCAPLUS

CN Benzenemethanol, 2-[2-[(dimethylamino)methyl]phenoxy]-5-iodo- (9CI) (CA INDEX NAME)

$$HO-CH_2$$
 CH_2-NMe_2

IT 291781-29-8P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(preparation of agents for serotonin transporter SPECT imaging)

RN 291781-29-8 HCAPLUS

CN Benzenemethanol, 2-[2-[(dimethylamino)methyl]phenoxy]-5-(iodo-125I)- (9CI) (CA INDEX NAME)

IT 291781-24-3P 291781-25-4P 291781-26-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of agents for serotonin transporter SPECT imaging)

RN 291781-24-3 HCAPLUS

CN Benzoic acid, 2-[2-[(dimethylamino)methyl]phenoxy]-5-nitro-, methyl ester

(9CI) (CA INDEX NAME)

RN291781-25-4 HCAPLUS

CN Benzoic acid, 5-amino-2-[2-[(dimethylamino)methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me2N}-\text{CH}_2 \\ \\ \text{H}_2\text{N} \\ \\ \text{C}-\text{OMe} \\ \\ \\ \text{O} \end{array}$$

RN 291781-26-5 HCAPLUS

Benzenemethanol, 5-amino-2-[2-[(dimethylamino)methyl]phenoxy]- (9CI) (CA CNINDEX NAME)

$$HO-CH_2$$
 O
 CH_2-NMe_2

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 22 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:761658 HCAPLUS

DOCUMENT NUMBER:

134:162754

TITLE:

Parallel solution-phase syntheses of functionalized bicyclo[2.2.2]octanes: generation of a library using orchestrated multi-step sequences of polymer-supported

reagents and sequesterants

Liu 10/075,847

Page 179

AUTHOR(S):

Ley, Steven V.; Massi, Alessandro

CORPORATE SOURCE:

Department of Chemistry, University of Cambridge,

Cambridge, CB2 1EW, UK

SOURCE:

Perkin 1 (2000), (21), 3645-3654 CODEN: PERKF9; ISSN: 1470-4358

PUBLISHER:

Royal Society of Chemistry

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 134:162754

An array of bicyclo[2.2.2]octane derivs. was prepared in high yield using an orchestrated multi-step sequence of polymer-supported reagents and sequestering agents, without any chromatog. purification steps. Nine intermediate libraries were synthesized, with the final library possessing five sites of diversity. Key steps included an efficient tandem Michael addition reaction of acrylates with cyclohexenones and a subsequent reductive amination reaction.

175136-89-7 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (parallel solution-phase syntheses of functionalized bicyclo[2.2.2]octanes)

175136-89-7 HCAPLUS RN

CN Benzenemethanamine, 2-chloro-6-phenoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 23 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

58

ACCESSION NUMBER:

2000:608708 HCAPLUS

DOCUMENT NUMBER:

133:207665

TITLE:

Preparation of phenoxybenzylamines as monoamine

reuptake inhibitors

INVENTOR(S):

Elliott, Mark Leonard; Howard, Harry Ralph, Jr.; Schmidt, Christopher Joseph; Seeger, Thomas Francis

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE:

PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: מעבות אים

LATENT N	10.	MIND	DAIL		А	EEDT.	CHIL	J14 141	.	DAIL				
				-	· –									
WO 20000	50380	A1 .	20000831	Ĺ	. W	O 20	00-I	B108		2000	0202			
W:	AE, AL	AM, AT	, AU, AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
	CZ, DE	DK, EE	, ES, FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	
	TS. JP	KE. KG	KP. KR.	KZ.	LC.	T.K.	T.R.	LS:	T.T.	T.H.	LV.	MA.	MD.	

GΙ

```
MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1154984
                       Α1
                            20011121
                                            EP 2000-900785
                                                              20000202
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     BR 2000008958
                             20011127
                                             BR 2000-8958
                                                              20000202
                       Α
     EE 200100441
                       Α
                             20021216
                                             EE 2001-441
                                                              20000202
    AU 763884
                                            AU 2000-30709
                       B2
                             20030731
                                                              20000202
    NZ 512910
                                            NZ 2000-512910
                       Α
                             20031128
                                                              20000202
     US 2002143003
                                            US 2001-845992
                       Α1
                             20021003
                                                              20010430
     US 6677378
                       В2
                             20040113
     HR 2001000585
                       A1
                             20021231
                                             HR 2001-585
                                                              20010807
     NO 2001004047
                       Α
                             20011022
                                            NO 2001-4047
                                                              20010820
     ZA 2001006890
                       Α
                             20020923
                                             ZA 2001-6890
                                                              20010821
     BG 105858
                             20020430
                                             BG 2001-105858
                                                              20010830
                       Α
PRIORITY APPLN. INFO .:
                                         US 1999-121313P
                                                           Р
                                                              19990223
                                         US 2000-529207
                                                           A2
                                                              20000202
                                         WO 2000-IB108
                                                           W
                                                              20000202
OTHER SOURCE(S):
                         MARPAT 133:207665
```

ROZCR3R4NR1R2 [R = (un)substituted Ph; R1, R2 = H, alk(en)yl, alkynyl; AΒ NR1R2 = heterocyclyl; R3,R4 = H or (fluoro)alkyl; R3R4 = (un)substituted alkylene; R2R3 = atoms to complete a heterocyclic ring; Z = (un) substituted phenylene] were prepared as monoamine reuptake inhibitors (no data). Thus, 2,5-F(F3C)C6H3CHO was aroxylated by 3,4-C12C6H3OH and the product reductively aminated by Me2NH to give title compound I. 146520-69-6P 146797-20-8P 289716-74-1P IT 289716-75-2P 289716-79-6P 289716-80-9P 289716-82-1P 289716-85-4P 289716-88-7P 289716-89-8P 289716-91-2P 289716-92-3P 289716-93-4P 289716-94-5P 289716-95-6P 289716-96-7P 289716-97-8P 289716-98-9P 289717-00-6P 289717-01-7P 289717-02-8P 289717-04-0P 289717-06-2P 289717-08-4P 289717-09-5P 289717-11-9P 289717-13-1P 289717-15-3P 289717-16-4P 289717-17-5P 289717-18-6P 289717-19-7P 289717-23-3P 289717-24-4P 289717-25-5P 289717-26-6P

289717-28-8P 289717-29-9P 289717-30-2P

```
289717-32-4P 289717-33-5P 289717-34-6P
289717-35-7P 289717-36-8P 289717-37-9P
289717-38-0P 289717-39-1P 289717-41-5P
289717-42-6P 289717-43-7P 289717-44-8P
289717-45-9P 289717-46-0P 289717-47-1P
289717-48-2P 289717-49-3P 289717-50-6P
289717-51-7P 289717-52-8P 289717-53-9P
289717-54-0P 289717-55-1P 289717-56-2P .
289717-57-3P 289717-58-4P 289717-59-5P
289717-60-8P 289717-61-9P 289717-62-0P
289717-63-1P 289717-64-2P 289717-65-3P
289717-66-4P 289717-67-5P 289717-68-6P
289717-69-7P 289717-70-0P 289717-71-1P
289717-72-2P 289717-73-3P 289717-74+4P
289717-75-5P 289719-21-7P 289719-22-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of phenoxybenzylamines as monoamine reuptake inhibitors)
146520-69-6 HCAPLUS
Benzenemethanamine, 2-(3,4-dichlorophenoxy)-, hydrochloride (9CI) (CA
INDEX NAME)
```

RN

CN

HCl

```
RN 146797-20-8 HCAPLUS
CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1
```

CRN 146797-19-5 CMF C15 H16 C1 N O.

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-74-1 HCAPLUS

CN Benzenemethanamine, 2-fluoro-6-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 289716-75-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro- (9CI) (CA INDEX NAME)

RN 289716-79-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289716-80-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-79-6

CMF C16 H14 C12 F3 N O

$$Me_2N-CH_2$$
 F_3C
 $C1$

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-82-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289716-85-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (4:3) (9CI) (CA INDEX NAME)

CM 1 .

CRN 289716-84-3 CMF C14 H13 Cl2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-88-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-ethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-87-6 CMF C15 H15 C12 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-89-8 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-91-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-90-1 CMF C14 H14 Cl N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289716-92-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-93-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

Cl

● HCl

RN 289716-94-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289716-95-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N-methyl-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-94-5

CMF C14 H12 C12 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 289716-96-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-5-nitro- (9CI) (CA INDEX NAME)

RN 289716-97-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289716-98-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,α-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-00-6 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-2-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289716-99-0 CMF C16 H19 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-01-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 289717-02-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-4-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-01-7

CMF C16 H14 C12 F3 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-04-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-difluorophenoxy)-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-03-9 CMF C15 H15 F2 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-06-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-difluorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-05-1 CMF C14 H13 F2 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-08-4 HCAPLUS

CN Benzenemethanamine, N, α -dimethyl-2-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-07-3 CMF C16 H19 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-09-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N-dimethyl-6-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-11-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-6-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-10-8 CMF C15 H12 C12 F3 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-13-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dimethylphenoxy)-5-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-12-0 CMF C16 H18 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-15-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dimethylphenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-14-2 CMF C16 H19 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-16-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 289717-17-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-4-(trifluoromethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-16-4

CMF C15 H12 C12 F3 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-18-6 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-19-7 HCAPLUS

CN Benzenemethanamine, 4-chloro-2-(3,4-dichlorophenoxy)-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-18-6 CMF C14 H12 C13 N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-23-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-3-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 289717-22-2

CMF C14 H12 C12 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-24-4 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,α-dimethyl-(9CI) (CA INDEX NAME)

RN 289717-25-5 HCAPLUS CN Benzenemethanamine.

Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-24-4

CMF C15 H14 C12 F N O

CM 2

CRN 110-16-7

CMF C4 H4 O4

RN 289717-26-6 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-28-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-fluoro-N-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-27-7

CMF C14 H12 C12 F N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

RN 289717-29-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-30-2 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-32-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N,N-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

 ${\tt CM}$ 1

CRN 289717-31-3

CMF C15 H15 Cl F N O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 289717-33-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 289717-34-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

HC1

RN 289717-35-7 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-36-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-37-9 HCAPLUS

CN

Benzenemethanamine, N,N-dimethyl-2-[4-(methylthio)phenoxy]-, hydrochloride

(9CI) (CA INDEX NAME)

HC1

RN 289717-38-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-39-1 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 289717-41-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-42-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-43-7 HCAPLUS

CN Benzenemethanamine, 2-fluoro-N, N-dimethyl-6-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

RN 289717-44-8 HCAPLUS

CN Benzenemethanamine, 2-fluoro-N, N-dimethyl-6-(4-methylphenoxy)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 289717-43-7 CMF C16 H18 F N O

Me₂N-CH₂
F
Me

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

HO₂C Z CO₂H

RN 289717-45-9 HCAPLUS

CN Benzenemethanamine, 5-amino-2-(3,4-dichlorophenoxy)-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Me₂N-CH₂

H₂N

C1

HC1

RN 289717-46-0 HCAPLUS

CN

Benzenemethanamine, 5-amino-2-(3,4-dichlorophenoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N}-\text{CH}_2 \\ \\ \text{H}_2\text{N} \end{array} \qquad \begin{array}{c} \text{C1} \\ \end{array}$$

RN 289717-47-1 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 289717-48-2 HCAPLUS

CN Acetamide, N-[4-(3,4-dichlorophenoxy)-3-[(dimethylamino)methyl]phenyl]-(9CI) (CA INDEX NAME)

RN 289717-49-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, hydrochloride

(9CI) (CA INDEX NAME)

● HCl

RN 289717-50-6 HCAPLUS ·

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 289717-51-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

HCl

RN 289717-52-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-53-9 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,α-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-54-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-55-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N,α-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-56-2 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-57-3 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-58-4 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-5-fluoro-N, α -dimethyl- (9CI) (CA INDEX NAME)

RN 289717-59-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,N,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-60-8 HCAPLUS

CN Benzenemethanamine, 4-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-61-9 HCAPLUS

CN Benzenemethanamine, 5-bromo-2-(3,4-dichlorophenoxy)-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-62-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4,5-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-63-1 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 289717-64-2 HCAPLUS

CN Benzonitrile, 4-(3,4-dichlorophenoxy)-3-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

RN 289717-65-3 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N,4,5-trimethyl- (9CI) (CA INDEX NAME)

RN 289717-66-4 HCAPLUS

CN Benzonitrile, 3-(3,4-dichlorophenoxy)-4-[(methylamino)methyl]- (9CI) (CA INDEX NAME)

 $MeNH^{-}CH_{2}$

RN 289717-67-5 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N, α -dimethyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-68-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-fluoro-N,α-dimethyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-69-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(trifluoromethyl)-

(9CI) (CA INDEX NAME)

$$F_{3}C$$

RN 289717-70-0 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-71-1 HCAPLUS

CN Benzenemethanamine, 2-(4-chloro-3-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-72-2 HCAPLUS

CN Benzenemethanamine, 2-(3-chloro-4-fluorophenoxy)-5-fluoro-N-methyl- (9CI) (CA INDEX NAME)

RN 289717-73-3 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 289717-74-4 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 289717-75-5 HCAPLUS

CN Pyrrolidine, 2-[2-(3,4-dichlorophenoxy)-5-fluorophenyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 289719-21-7 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-methyl-, hydrochloride (9CI) (CA INDEX NAME)

$$H_2N-CH_2$$
 Me
 $C1$

● HCl

RN 289719-22-8 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 289718-11-2P

RL: BYP (Byproduct); PREP (Preparation)

(preparation of phenoxybenzylamines as monoamine reuptake inhibitors)

RN 289718-11-2 HCAPLUS

CN Benzenemethanamine, 2-(4-methylphenoxy)- (9CI) (CA INDEX NAME)

 H_2N-CH_2

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 24 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

3

ACCESSION NUMBER:

2000:255979 HCAPLUS

DOCUMENT NUMBER:

133:219564

TITLE:

A novel serotonin transporter ligand:

(5-Iodo-2-(2-dimethylaminomethylphenoxy)-benzyl

alcohol

AUTHOR(S):

Zhuang, Z.-P.; Choi, S.-R.; Hou, C.; Mu, M.; Kung,

M.-P.; Acton, P. D.; Kung, H. F.

CORPORATE SOURCE:

Departments of Radiology and Pharmacology, University

of Pennsylvania, Philadelphia, PA, USA

SOURCE:

Nuclear Medicine and Biology (2000), 27(2), 169-175

CODEN: NMBIEO; ISSN: 0969-8051

PUBLISHER:

Elsevier Science Inc.

DOCUMENT TYPE:

Journal

English

LANGUAGE: AΒ

The serotonin transporters (SERT) are the primary binding sites for selective serotonin reuptake inhibitors, commonly used antidepressants such as fluoxetine, sertraline, and paroxetine. Imaging of SERT with positron emission tomog. and single photon emission computed tomog. in humans would provide a useful tool for understanding how alterations of this system are related to depressive illnesses and other psychiatric

disorders. In this article the synthesis and characterization of [125I]ODAM [(5-iodo-2-(2-dimethylaminomethylphenoxy)-benzyl alc.)] as an

imaging agent in the evaluation of central nervous system SERT are reported. In an initial binding study using cortical membrane homogenates of rat brain, ODAM displayed a good binding affinity with a value of Ki = 2.8 ± 0.88 nM. Using LLC-PK1 cells specifically expressing the individual transporter (i.e. dopamine [DAT], norepinephrine [NET], and SERT, resp.), ODAM showed a strong inhibition on SERT ($Ki = 0.12 \pm 0.02$ nM). Inhibition consts. for the other two transporters were lower (Ki = $3.9 \pm 0.7 \, \mu M$ and $20.0 \pm 1.9 \, n M$ for DAT and NET, resp.). Initial biodistribution study in rats after an i.v. (IV) injection of [125I]ODAM showed a rapid brain uptake and washout (2.03, 1.49, 0.79, 0.27, and 0.07% dose/organ at 2, 30, 60, 120, and 240 min, resp.). The hypothalamus region where the serotonin neurons are located exhibited a high specific uptake. Ratios of hypothalamus-cerebellum/cerebellum based on percent dose per g of these two regions showed values of 0.35, 0.86, 0.86, 0.63, and 0.34 at 2, 30, 60, 120, and 240 min, post-IV injection, resp. The specific uptake in hypothalamus can be effectively blocked by pretreatment of known SERT ligands. The results suggest that this novel ligand displays desirable in vitro and in vivo properties as a potential SERT imaging agent.

IT 291781-29-8P

CN

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of radioiodinated iodo(dimethylaminomethylphenoxy)benzyl alc. as potential serotonin transporter imaging agent)

RN 291781-29-8 HCAPLUS

Benzenemethanol, 2-[2-[(dimethylamino)methyl]phenoxy]-5-(iodo-125I)- (9CI) (CA INDEX NAME)

IT 291781-24-3P 291781-25-4P 291781-26-5P 291781-27-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of radioiodinated iodo(dimethylaminomethylphenoxy)benzyl alc. as potential serotonin transporter imaging agent)

RN 291781-24-3 HCAPLUS

CN Benzoic acid, 2-[2-[(dimethylamino)methyl]phenoxy]-5-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 291781-25-4 HCAPLUS

CN Benzoic acid, 5-amino-2-[2-[(dimethylamino)methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2 \text{N} - \text{CH}_2 \\ \\ \text{H}_2 \text{N} & \text{C} - \text{OMe} \\ \\ \text{O} \end{array}$$

RN 291781-26-5 HCAPLUS

CN Benzenemethanol, 5-amino-2-[2-[(dimethylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

$$HO-CH_2$$
 O
 CH_2-NMe_2
 H_2N

RN 291781-27-6 HCAPLUS

CN Benzenemethanol, 2-[2-[(dimethylamino)methyl]phenoxy]-5-iodo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 25 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:618468 HCAPLUS

DOCUMENT NUMBER:

132:233682

TITLE:

Single-photon emission tomography imaging of serotonin

transporters in the nonhuman primate brain with

[123I]ODAM

AUTHOR(S):

Acton, Paul D.; Mu, Mu; Plossl, Karl; Hou, Catherine; Siciliano, Michael; Zhuang, Zhi-Ping; Oya, Shunichi;

Choi, Seok-Rye; Kung, Hank F.

CORPORATE SOURCE:

Department of Radiology, University of Pennsylvania,

Philadelphia, PA, 19104, USA

SOURCE:

European Journal of Nuclear Medicine (1999), 26(10),

1359-1362

CODEN: EJNMD9; ISSN: 0340-6997

PUBLISHER:

Springer-Verlag

DOCUMENT TYPE:

Journal English

LANGUAGE:

We have described previously a selective serotonin transporter (SERT) radioligand, [1231] IDAM. We now report a similarly potent, but more stable IDAM derivative, 5-iodo-2-[2-[(dimethylamino)methyl]phenoxy]benzyl alc. ([1231]ODAM). The imaging characteristics of this radioligand were studied and compared against [123I]IDAM. Dynamic sequences of single-photon emission tomog. (SPET) scans were obtained on three female baboons after injection of 375 MBq of [123I]ODAM. Displacing doses (1 mg/kg) of the selective SERT ligand (+)McN5652 were administered 120 min after injection of [123I]ODAM. Total integrated brain uptake of [123I]ODAM was about 30% higher than [123I]IDAM. After 60-120 min, the regional distribution of tracer within the brain reflected the characteristic distribution of SERT. Peak specific binding in the midbrain occurred 120 min after injection, with an equilibrium midbrain to cerebellar ratio of 1.50 ± 0.08 , which was slightly lower than the value for [1231]IDAM (1.80 \pm 0.13). Both the binding kinetics and the metabolism of [123I]ODAM were slower than those of [123I]IDAM. Following injection of a competing SERT ligand, (+)McN5652, the tracer exhibited washout from areas with high concns. of SERT, with a dissociation kinetic rate constant $koff=0.0085\pm0.0028 \ min-1 \ in the midbrain.$ Similar studies using nisoxetine and methylphenidate showed no displacement, consistent with its low binding affinity to norepinephrine and dopamine transporters, resp. These results suggest that [123I]ODAM is suitable for selective SPET imaging of SERT in the primate brain, with higher uptake and slower

262273-73-4 TΤ

for SERT.

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (SPET imaging of serotonin transporters in nonhuman primate brain with [123I]ODAM)

kinetics and metabolism than [1231] IDAM, but also a slightly lower selectivity

262273-73-4 HCAPLUS RN

Benzenemethanol, 2-[2-[(dimethylamino)methyl]phenoxy]-5-(iodo-123I)- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 26 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1999:113636 HCAPLUS

130:182255

TITLE:

Preparation of aryloxyaniline derivatives as

therapeutic agents with high affinity for the MDR

receptors

INVENTOR(S):

Nakazato, Atsuro; Okubo, Taketoshi; Nakamura, Toshio;

Chaki, Shigeyuki; Tomisawa, Kazuyuki; Nagamine,

Masashi; Yamamoto, Kenji; Harada, Koichiro; Yoshida,

Masanori

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan; Nihon, Nohyaku

Co., Ltd.

SOURCE:

PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.			KIND DATE			APPLICATION NO.						ο.	DATE					
W	99	063	353		A.	1	1999	0211		1	 WO	199	8-JE	344	2	1998	0803		
	W	:	ΑU,	CA,	CN,	KR,	. US	·			٠								
	R'	W:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI.	, F	R,	GB,	GR,	IE,	IT,	LU,	MC.	NL.
			PT,								-		-			•	•	•	•
Α	J 98	846	525		A.	l	1999	0222		i	ΑU	199	8-84	1625		1998	0803		
ΑU	J 72	900	00		В2	2	2001	0125											
J	2 11	171	844		Αź	2	1999	0629			JP	199	8-21	878	4	1998	0803		
	2 10															1998			
El	2 10	045					2002												
	R	:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB.	, G	R,	IT,	LI,	LU,	NL,	SE.	MC.	PT.
			ΙE,					•	•			•	•	•		•	•		- •
A:	22	693	32		E		2002	1115		· 1	ΑТ	199	8-93	3532	6	1998	0803		
P.	10	045	573		Т		2003	0331]	РT	199	8-98	935	326	1998	0803		
					T3		2003				ES	199	8-93	3532	6	1998	0803		
U.S	63	333	358		В3	L	2001	1225	•	Ţ	IJS	200	0-48	500	6	2000	02Ó1		
U.S	20	021	4719	91	A 1	L	2002	1010		. (JS	200	1-92	280	7	2001	0807		
	64						2002									•			
PRIORIT	Y A	PPI	.N.]	NFO.	. :				į	JP :	199	7-2	0912	:3	А	19970	0804		
			•						Ţ	NO I	199	8-J	P344	2	W.	19980	0803		
							*		Į	JS 2	200	0-4	8500	16	A 3	20000	0201		

OTHER SOURCE(S):

MARPAT 130:182255

GΙ

$$\begin{array}{c|c} & \text{CO-R1} \\ & \text{N-Y1-Ar1} \\ & \text{O-Ar2} \end{array}$$

AB The title compds. I [Arl and Ar2 represent each a substituted or unsubstituted Ph, pyridyl, or naphthyl group; R1 represents a hydrogen atom, an alkyl group or the like; X1 represents a hydrogen atom, an alkyl group or the like; and Y1 represents a branched or unbranched 1-6 C alkylene group or a single bond] are prepared I are useful in the treatment of anxiety and associated diseases, depression, and the like. In an in vitro test for affinity for the mitochondrial DBI receptors, the title compound I [ArlY1 = 3-methoxybenzyl; Ar2 = phenyl; R1 = methyl; X1 = H] showed IC50 of 1.38 nM.

IT 220553-21-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryloxyaniline derivs. as therapeutic agents with high affinity for the MDR receptors)

RN 220553-21-9 HCAPLUS

CN Acetamide, N-[2-[2-[(dimethylamino)methyl]phenoxy]phenyl]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L51 ANSWER 27 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

5

ACCESSION NUMBER:

1997:499172 HCAPLUS

DOCUMENT NUMBER:

127:176352

TITLE: INVENTOR(S):

Quinolin-2(1H)-ones as NMDA receptor antagonists

Ackermann, Karl-august; Gottschlich, Rudolf;

Holzemann, Gunter; Leibrock, Joachim; Rautenberg,

Wilfried; Seyfried, Christoph

PATENT ASSIGNEE(S):

Merck Patent G.m.b.H., Germany; Gottschlich, Rudolf; Holzemann, Gunter; Leibrock, Joachim; Rautenberg,

Wilfried; Seyfried, Christoph

SOURCE:

PCT Int. Appl., 43 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

PATENT NO. KIND DATE APPLICATION NO. WO 9726244 Α1 19970724 WO 1997-EP84 19970110 W: AU, BR, CA, CN, CZ, HU, JP, KR, LT, LV, MX, NO, PL, RU, SI, SK, UA, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE DE 19601782 Α1 19970724 DE 1996-19601782 19960119 CA 2243474 AA 19970724 CA 1997-2243474 19970110 AU 9713112 Α1 19970811 AU 1997-13112 19970110 AU 716230 В2 20000224 EP 885196 **A**1 19981223 EP 1997-900586 19970110 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI CN 1211974 19990324 Α CN 1997-192395 19970110 BR 9707027 Α 19990720 BR 1997-7027 19970110 JP 2000503308 Т2 2,0000321 JP 1997-525656 19970110 ZA 9700364 Α 19970722 ZA 1997-364 19970116 NO 9803333 Α 19980918 NO 1998-3333 19980717 US 6028080 Α 20000222 US 1998-101837 19980717 PRIORITY APPLN. INFO.: DE 1996-19601782 A 19960119 WO 1997-EP84 W 19970110 OTHER SOURCE(S): MARPAT 127:176352

 R^1

ОН

Η

GΙ

Quinolinones I [R = substituted Ph; R1, R2 = H, halogen, alkyl, alkoxy] AΒ were prepared fo use in treating neurodegenerative disorders (no data). Thus, the quinolinone II and its enantiomers were obtained from 2-BrCH2COC6H4CH2CO2Me in 9 steps.

IT 193819-50-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylquinolinones as NMDA receptor antagonists)

RN 193819-50-0 HCAPLUS

CN Benzeneacetyl chloride, 3-[2-[(dimethylamino)methyl]phenoxy]- (9CI) (CA INDEX NAME)

L51 ANSWER 28 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1997:151532 HCAPLUS

DOCUMENT NUMBER:

126:157822

TITLE:

Synthesis of N-substituted oligomers as therapeutic

agents

INVENTOR(S):

Zuckermann, Ronald N.; Goff, Dane A.; Ng, Simon; Spear, Kerry; Scott, Barbara O.; Sigmund, Aaron C.; Goldsmith, Richard A.; Marlowe, Charles K.; Pei,

Yazhong; Richter, Lutz; Simon, Reyna

PATENT ASSIGNEE(S):

SOURCE:

Chiron Corporation, USA

.PCT Int. Appl., 175 pp.

DOCUMENT TYPE:

LANGUAGE:

Patent

CODEN: PIXXD2

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO. DATE									
	WO	9640	202					WO 1996-US8832 19960604										
		W:													CZ,		DK.	EE.
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG.	KP.	KR.	KZ.	LK,	LR.	LS.	LT.
			LU,	LV,	MD,	MG,	MK,	MN.	MW.	MX.	NO.	N7.	PT.	PТ.	RO,	RII.	SD.	SE
			SG,		•	•	•		•	,	/	,	~ = /	,	2.07	1.0,	55,	о д ,
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR.	GB.	GR.
															CM,			
	US	5877													1995		,	
	AU 9662534														1996			
		7895																
		7895														00,1		
									FI,	FR,	GB,	GR.	IE.	IT.	LI,	LU.	MC.	NI.
			PT,				·	•	•	,	•	•	•	,	,		,	/
	JР	1150	7049		T	2	1999	0622		JI	P 199	96-5	0131	7	19960	0604		
	AT	2342	68										21278		19960			
		APP													19950			
															19920			
															19930			
															1994(
															1996(
7 D	m\	وعروعا	1										, _	••	1000		_	

AB The title process comprises a solid-phase method for synthesis of N-substituted oligomers, e.g., poly(N-substituted glycines) having a wide variety of side-chain substituents, to obtain compds. of potential

therapeutic interest. Each N-substituted glycine monomer is assembled from two sub-monomers directly on the solid support. Each cycle of monomer addition consists of two steps: (1) acylation of a support-bound amine with an acylating agent containing a group capable of nucleophilic displacement by -NH2, such as a haloacetic acid, and (2) introduction of the side-chain by nucleophilic displacement of the leaving group with a second submonomer such as a primary amine, alkoxyamine, semicarbazide, acyl hydrazide, carbazate or the like. Repetition of the two step cycle of acylation and displacement gives the desired oligomers. Combinatorial libraries are disclosed.

IT 186700-05-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of N-substituted oligomers as therapeutic agents)

RN 186700-05-0 HCAPLUS

CN Benzenemethanamine, 2-(3-chlorophenoxy)- (9CI) (CA INDEX NAME)

L51 ANSWER 29 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1997:148791 HCAPLUS

DOCUMENT NUMBER:

126:157515

TITLE:

Preparation of ortho-substituted aromatic compounds,

containing three (het)aryl moieties as prostaglandin

E2-(PGE2)-antagonists

INVENTOR(S):

Breault, Gloria Anne; Oldfield, John; Tucker, Howard;

Warner, Peter

PATENT ASSIGNEE(S):

SOURCE:

Zeneca Limited, UK

Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATEN	T NO.	KIND	DATE		APPLICATION N	ο.	DATE
EP 75		A1	19970108		EP 1996-30488	8	19960702
US 58	R: CH, DE,	A	19981110		US 1996-67387	8	19960702
	040607	A2	19970210		JP 1996-17399	_	19960703
	57345	A	20000502.		US 1998-18357	-	19981030
	03139418	A 1	20030724		US 2002-28461	0	20021031
PRIORITY A	PPLN. INFO.	:		GB	1995-13900	Α	19950707
				GB	1995-13902	Α	19950707
				GB	1995-13903	Α	19950707
				GB	1995-13923	Α	19950707
				GB	1995-13924	Α	19950707
				GB	1995-13927	Α	19950707
				US	1996-673878	А3	19960702

US 1998-183578

A3 19981030 B1 20000217

US 2000-505969 US 2001-811779

B1 20010319

OTHER SOURCE(S):

MARPAT 126:157515

$$Z-B-R1$$
 A
 $X-D$
 I
 CO_2H
 II

The title compds. [I; A = (un)substituted Ph, naphthyl, pyridazinyl, etc., AΒ and the -ZBR1 and -XD linking groups are positioned in a 1,2 relationship to one another on ring carbon atoms and the ring atom positioned ortho to the -X- linking group (and therefore in the 3-position relative to the -Zlinking group) is not substituted; B = (un) substituted Ph, pyridyl, thiazolyl, etc.; D = (un)substituted pyridyl, pyrazinyl, pyrimidinyl, etc.; R1 = COOH, tetrazolyl, etc., and is positioned on ring B in a 1,3 or 1,4 relationship with the -Z- linking group in 6-membered rings and in a 1,3-relationship with the -Z- linking group in 5-membered rings; X = OCH2, SCH2, CH2CH2, etc.; Z = CH(R3)CH(R3)N(R2), N(R2)CH(R3), etc. (wherein R2 = H, C1-6 alkyl, C2-6 alkenyl, etc.; R3 = H, C1-4 alkyl)] were prepared Thus, reaction of 5-bromo-2-(phenethyl)benzaldehyde with Me 4-aminobenzoate followed by treatment of the intermediate with NaBH4, and hydrolysis of the resulting Me 4-{N-[5-bromo-2-(phenethyl)benzyl]amino}benzoate with 2N aqueous NaOH in MeOH/THF afforded the title compound II. Compds. I are useful in the treatment of pain such as the pain associated with joint conditions (such as rheumatoid arthritis and osteoarthritis), postoperative pain, post-partum pain, the pain associated with dental conditions (such as dental caries and gingivitis), the pain associated with burns (including sunburn), the treatment of bone disorders (such as osteoporosis, hypercalcemia of malignancy and Paget's disease), the pain associated with sports injuries and sprains and all other painful conditions in which E-type prostaglandins wholly or in part play a pathophysiol. role. In general, compds. I are effective at 0.05-25 mg/kg/day.

IT186797-05-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ortho-substituted aromatic compds. containing three

moieties as prostaglandin E2-(PGE2)-antagonists)

RN 186797-05-7 HCAPLUS

CN Benzenemethanamine, N-ethyl-2-phenoxy- (9CI) (CA INDEX NAME)

L51 ANSWER 30 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1997:44662 HCAPLUS

DOCUMENT NUMBER:

126:59751

TITLE:

Preparation of di- and tricarboxybenzamides and

analogs as squalene synthetase and protein

farnesyltransferase inhibitors

INVENTOR(S):

Baker, William R.; Rosenberg, Saul H.; Fung, K. L. Anthony; Rockway, Todd W.; Fakhoury, Stephen A.; Garvey, David S.; Donner, B. Gregory; O'Connor, Stephen J.; Prasad, Rajnandan N.; Shen, Wang; Stout,

David M.; Sullivan, Gerard M.

PATENT ASSIGNEE(S):

SOURCE:

Abbott Laboratories, USA, PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	ATENT :	NO.		KII	ND	DATE			A	PLI	CATI	ои ио	ο.	DATE				
WC	9634 W:	851 AU,	CA,			1996 MX	1107		WC	19	96-U	5619	3	1996	0502			•
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΊΕ,	IT,	LU,	MC,	NL,	PT,	SE
US	5783	593		Α		1998	0721		US	19	96-6	33262	2	1996	0429			
ΙA	J 9656	731		A.	1	1996	1121		ΑU	19	96-5	6731		1996	0502			
PRIORIT	Y APP	LN.	INFO	. :				Ţ	JS 19	95-	4290	95		1995	0503	<u>s</u>		
								Į	JS 19	96-	6332	62		1996	0429			
								. (JS 19	93-	1477	0.8		1993	1104			
						•		Ţ	JS 19	94-	2897	11		1994	0909			
								Ţ	JS 19	94-	3227	83		1994	1018			
								1	VO 19	96-	US61	93		1996	0502			
									_									

OTHER SOURCE(S):

GI

MARPAT 126:59751

$$A^{1}$$
 A^{2}
 A^{3}
 A^{3}
 A^{4}
 A^{3}
 A^{2}
 A^{2}
 A^{3}
 A^{4}
 A^{3}
 A^{4}
 A^{3}
 A^{4}
 A^{5}
 A^{2}
 A^{3}
 A^{4}
 A^{5}
 A^{5}
 A^{6}
 A^{7}
 A^{7

AB Title compds. [e.g., I; A1 = ZCONR1R2; A2, A4, and A5 or A2 and A4 or A3 and

A4 = (protected) CO2H and the other An = H; R1 = (chloro)benzyl, (CH2)2-4Ph, CH2C6H4(OPh)-4; R2 = (CH2)1-2C6H4(OPh)-4; Z = bond, NR, O; R = H, (cyclo)alkyl, aralkyl, cycloalkylalkyl] were prepared Thus, 4-(PhO)C6H4CHO was reductively aminated by H2CH2Ph and the product amidated by 1,2,4,5-benzenetetracarboxylic dianhydride to give title compound II. Data for in vitro inhibition of protein farnesyltransferase by selected I were given.

IT 107624-14-6, Benzenemethanamine, 2-phenoxy-

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of di- and tricarboxybenzamides and analogs as squalene synthetase and protein farnesyltransferase inhibitors)

RN 107624-14-6 HCAPLUS

CN Benzenemethanamine, 2-phenoxy- (9CI) (CA INDEX NAME)

L51 ANSWER 31 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1993:191327 HCAPLUS

DOCUMENT NUMBER:

118:191327

TITLE:

Preparation of (aminomethylphenoxy) halobenzenes and

related compounds as antidepressants

INVENTOR(S):

Ruigt, Gerardus Stephanus Franciscus; Leysen, Dirk;

Wieringa, Johannes Hubertus

PATENT ASSIGNEE(S):

AKZO N. V., Neth.

SOURCE:

Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DOCUMENT .TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT NO.		KIND	DATE		APPLICATION NO	O. DATE	
EP	516234		A1	19921202	•	EP 1992-20148	4 19920	0525
EP	516234		В1	19950913				
	R: AT,	BE, C	H, DE	, DK, ES,	FR, G	B, GR, IT, LI,	LU, MC,	NL, PT, SE
ZA	9203283		Α	19930127		ZA 1992-3283	19920)506
CA	2068373		AA	19921130		CA 1992-20683	73 19920)511
AU	9217104		A1	19921203		AU 1992-17104	19920)522
AU	650136		B2	19940609				
ES	2079783		Т3	19960116		ES 1992-20148	4 19920)525
NO	9202110		A	19921130		NO 1992-2110	19920)527
NO	178395		В	19951211				
NO	178395		С	19960320				
JР	05148197		A2	19930615		JP 1992-137276	6 19920)528
US	5190965		A	19930302		US 1992-891545	5 19920)529
US	5430063		Α	19950704		US 1993-12700	. 19930)203
PRIORITY	APPLN. I	NFO.:			EP	1991-201288	19910)529
					US	1992-891545	19920)529

OTHER SOURCE(S): MARPAT 118:191327

GI

$$R \longrightarrow O \longrightarrow A$$

AB Title compds. I (R = 1 or 2 halo; A = R2R1NCH2 wherein R1, R2 = H, alkyl, 4,5-dihydro-1H-imidazolyl), were prepared 4-ClC6H4OH, NaH, 18-crown-6, and 2-BrC6H4CN were heated in DMF at 100° for 16 h to give 2-(4-ClC6H4O)C6H4CN which was treated with LiAlH4 in THF to give I (R = 4-Cl, A = CH2H2N.HCl (II). The 1/2 life of II in rats was 1-2.5 h.

IT 146797-18-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 146797-18-4 HCAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-(3,4-dichlorophenoxy)benzenemethanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146797-17-3 CMF C13 H11 C12 N O

CM 2

CRN 130-85-8 CMF C23 H16 O6

IT 146520-68-5P 146520-69-6P 146797-20-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antidepressant)

RN 146520-68-5 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 146520-69-6 HCAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 146797-20-8 HCAPLUS

CN Benzenemethanamine, 2-(4-chlorophenoxy)-N, N-dimethyl-, (2Z)-2-butenedioate

(1:1) (9CI) (CA INDEX NAME)

CM 1

146797-19-5 CRN CMF C15 H16 Cl N O

CM · 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L51 ANSWER 32 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1988:75271 HCAPLUS

DOCUMENT NUMBER:

108:75271

TITLE:

Synthesis of 2-phenylthiazolidine derivatives as cardiotonic agents. I. 2-Phenylthiazolidine-3-

thiocarboxamides

AUTHOR(S):

Nate, Hiroyuki; Sekine, Yasuo; Honma, Yasushi; Nakai, Hideo; Wada, Hiroshi; Takeda, Mikio; Yabana, Hideo;

Nagao, Taku

CORPORATE SOURCE:

Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Toda,

335, Japan

SOURCE:

Chemical & Pharmaceutical Bulletin (1987), 35(5),

1953-68

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 108:75271

GΙ

Novel 2-phenylthiazoldine-3-thiocarboxamides I (R = 2-, 3-, 4-Cl, Me, OMe; AB 2-Me, 2-Et, 2-Pr, 2-Bu, 2-CH2NMe2, 2-NMe2, 2-OH, 2-OCH2Ph, 2-OCH2CO2H, 2-NHCOMe, 2-phenylpiperazinopropoxy etc.) was synthesized and tested for pos. inotropic activity in the isolated guinea pig heart and in anesthetized dogs. Reaction of RC6H4CHO with cysteamine followed by treatment with isothiocyanates readily gave I. Structure-activity relationships were investigated by varying the structural parameters. I (R = 2-Me, 2-OMe) exhibited significant pos. inotropic action, which was not blocked by propranolol. o-Alkoxyphenyl derivative II exhibited more potent and longer-lasting activity than amrinone without any significant effect on heart rate or blood pressure.

112562-40-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and inotropic activity of)

ŔN 112562-40-0 HCAPLUS

3-Thiazolidinecarbothioamide, N-methyl-2-(2-phenoxyphenyl)- (9CI) (CA CN INDEX NAME)

HCAPLUS COPYRIGHT 2004 ACS on STN L51 ANSWER 33 OF 44

ACCESSION NUMBER:

1985:406319 HCAPLUS

DOCUMENT NUMBER:

103:6319

TITLE:

A new method of synthesizing 8-10-membered

heterocyclic systems condensed with two aromatic rings

Glinka, Ryszard; Piatowska, Elzbieta

AUTHOR(S): CORPORATE SOURCE:

Inst. Chem. Technol. Drugs, Sch. Med., Lodz, 90145,

SOURCE:

Polish Journal of Chemistry (1984), 58(1-2-3), 259-62

CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE:

Journal

Ι

ΙI

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 103:6319

G]

$$(CH_2)_{mO}(CH_2)_{n}$$

$$(CH_2)_{p}-N-C-N$$

$$H$$

$$S$$

AB The diaminodiphenyl ether derivs I (m = 0, 1, n = p = 0; m = n = 0, p = 1, m = n = 1, p = 0) were treated with CS2 in pyridine contg iodine to give the macrocyclic derivs II.

IT 30293-17-5

RL: RCT (Reactant); RACT (Reactant or reagent) (cyclization of, with carbon disulfide heterocyclic derivs. from)

RN 30293-17-5 HCAPLUS

CN Benzenemethanamine, 2-(2-aminophenoxy)- (9CI) (CA INDEX NAME)

L51 ANSWER 34 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1984:191848 HCAPLUS

DOCUMENT NUMBER:

100:191848

TITLE:

Synthesis of N, N'-disubstituted derivatives of

dibenzo[b,h]tetrahydro-1,4,6-oxadiazonine

AUTHOR(S):

Glinka, Ryszard

CORPORATE SOURCE:

Inst. Chem. Technol. Drugs, Sch. Med., Lodz, 90145,

Pol.

SOURCE:

Polish Journal of Chemistry (1983), Volume Date 1982,

56(7-8-9), 1139-44

CODEN: PJCHDQ; ISSN: 0137-5083

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 100:191848

GI

AB The dibenzoxadiazonine I (R = p-MeC6H4SO2 throughout) was prepared in 7 steps from o-MeC6H4OC6H4NO2-o via cyclization. of o- (RNNaCH2)C6H4OC6H4(NNaR)-o with BrCH2Br. The tetrabenzotriazocyclohexadecane II was similarly prepared from the diether III in 3 steps.

IT 89914-08-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and tosylation of)

RN 89914-08-9 HCAPLUS

CN Benzenemethanamine, 2-(2-nitrophenoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

L51 ANSWER 35 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

1982:616253 HCAPLUS

DOCUMENT NUMBER:

97:216253

TITLE:

Tricyclic compounds AKZO N. V. , Neth.

SOURCE:

Neth. Appl., 16 pp.

CODEN: NAXXAN

DOCUMENT TYPE:

Patent

LANGUAGE:

Dutch

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	TENT NO.		KIND	DATE		API	PLICATION NO.	DATE
	NL	8006955		 A	19820716	/	NL	1980-6955	19801222
	WO	8202199		A 1	19820708		WO	1981-EP195	19811212
		W: AU,	·DK,	FI, HU	, JP .				
	ΑU	8279341		A 1	19820720		ΑU	1982-79341	19811212
	ΑU	547599	•	B2	19851024				
							EP	1981-201362	19811212
	ΕP	57777		В1	19841010				
		R: AT,	BE,	CH, DE	FR, GB,	IT, L	1 , U	NL, SE	
	JΡ	57502258		Т2	19821223		JP	1982-500173	19811212
					19900406				
	ΗU	28460		0	19831228		HU	1982-110	19811212
	HU	185195		В	19841228				
								1981-201362	
	US	4374133		A	19830215		US	1981-331303	19811216
	zA	8108782		Α	19821124		ZA	1981-8782	19811218
								1981-508218	
								1981-392881	
	FI	74957		В	19871231		FI	1982-1944	19820601
	FI	74957		С	19880411				
	DK	8202724		А	19820708		DK	1982-2724	19820617
	DK	151332		В	. 19871123				
	DK	151332		C	19880606				
PRIOR	(TI	APPLN.	INFO.	. :				30-6955	
						EP	198	31-201362	
						WO	198	31-EP195	19811212
GT								•*	

GΙ

Ι

AΒ Dibenzoazacycloalkanes I (X = O, S, NR5; R = H, alkyl, aralkyl, hydroxyalkyl, acyloxyalkyl; R1-R4 = H, OH, halogen, cyano, alkyl, alkoxy, alkylthio, OCH2O, CF3, acyloxy; R5 = H, alkyl; n = 0-2) were prepared for use as tranquilizers (no data). Thus O(C6H4CH2CO2H-2)2 was reduced to the diol, brominated, and treated with PhCH2NH2 to give I (R = CH2Ph, R1-R4 =H, X = O, n = 1) which was debenzylated on Pd-C.

IT 83507-05-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 83507-05-5 HCAPLUS

CN Benzenemethanamine, 2-[2-(2-bromoethyl)phenoxy]-N-methyl- (9CI) NAME)

L51 ANSWER 36 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1982:455432 HCAPLUS 97:55432

DOCUMENT NUMBER: TITLE:

Non-steroidal antiinflammatory agents. 7.

Methanesulfonanilides. II

AUTHOR(S):

Schroeder, Eberhard; Lehmann, Manfred; Rufer, Clemens;

Boettcher, Irmgard

CORPORATE SOURCE:

Forschungslab., Schering A.-G., Berlin, 1000/65, Fed.

Rep. Ger.

SOURCE:

European Journal of Medicinal Chemistry (1982), 17(2),

165-72

CODEN: EJMCA5; ISSN: 0009-4374

DOCUMENT TYPE:

Journal

LANGUAGE:

German

OTHER SOURCE(S):

CASREACT 97:55432

GΙ

Searched by P. Ruppel

AB Analogs of the potent antiinflammatory sulfonanilide I were prepared and tested to determine structure-activity relationships. Of the compds. obtained by replacing the O atom of the PhO group by a direct bond, (substituted) methylene, or carbonyl group, only II (R1 = H, 2-Cl, 2-, 3-, 4-F) from the last procedure were active. Modifying the MeSO2NH moiety caused loss of activity, but chloro- and fluoromethanesulfonates III (R2 = 'R4 = H, R3 = ClCH2SO2; R2 = H, 4-Cl, 4-F, R3 = F3CSO2, R4 = H) and Ac derivative III (R2 = H, R3 = MeSO2, R4 = Ac) were active. The Ph ring was replaced with cyclohexyl, naphthyl, and heterocyclic groups and only pyridyl analogs IV (2-, 4-pyridyl, Z = S; 3-pyridyl, Z = O) showed antiinflammatory activity comparable to that of I.

IT 82472-01-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and mesylation of)

RN 82472-01-3 HCAPLUS

CN 1H-Indene-5-methanamine, 2,3-dihydro-6-phenoxy- (9CI) (CA INDEX NAME)

H₂N-CH₂

L51 ANSWER 37 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1979:6244 HCAPLUS

DOCUMENT NUMBER:

90:6244

TITLE:

Pyrrolidine derivatives

INVENTOR(S):

Beregi, Laszlo; Hugon, Pierre; Duhault, Jacques;

Boulanger, Michelle

PATENT ASSIGNEE(S):

Science Union et Cie., Societe Française de Recherche

Medicale, Fr.

SOURCE:

Ger. Offen., 21 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2807623	A1	19780831	DE 1978-2807623	19780222
DE 2807623	C2	19820701		
GB 1561411	Α	19800220	GB 1977-8096	19770225
US 4161529	А	19790717	US 1978-877601	19780214
CA 1101872	A1	19810526	CA 1978-297370	19780221
FR 2381753	A 1	19780922	FR 1978-5005	19780222
FR 2381753	В1	19790713		
AT 7801289	Α	19800715	AT 1978-1289	19780222
AU 7833552	A1	19790830	AU 1978-33552	19780223
BE 864312	A1	19780824	BE 1978-185474	19780224

NL 7802069	А	19780829	. NL	1978-2069	19780224
JP 53105475	A2	19780913	JP	1978-20820	19780224
JP 55016577	B4	19800502			
ES 467286	A 1	19781016	ES	1978-467286	19780224
DD 134088	С	19790207	DD	1978-203847	19780224
TN 147858	Α	19800719	IN	1978-DE148	19780224
СН 631162	Α	19820730	CH	1978-2058	19780224
ZA 7801100	A·	19790131	ZA	1978-1100	19781218
PRIORITY APPLN. INFO.:			GB 19	77-8096	19770225
GI					

$$NR1$$
 MeO $NR1$ $NR1$

The phenoxyphenylpyrrolidines I [R = H, halogen, alkyl, alkoxy, CF3; R1 = H, C1-4 aliphatic group, HO(CH2)2, HO(CH2)3, HO2CCH2] and their salts were prepared for use in regulation of lipid metabolism (no data). Thus, 4-MeOC6H4OC6H4COCl reacted with valine Me ester to give 4-(4-MeOC6H4O)C6H4CONHCH(CO2Me)CHMe2, which was saponified and treated successively with Ac2O, CH2:CHCN, and NaOH to give 4-(4-MeOC6H4O)C6H4COCH2CH2CN. Hydrogenative cyclization of this compound gave II.

IT 68548-77-6P 68548-78-7P

RN 68548-77-6 HCAPLUS

CN Pyrrolidine, 2-(2-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 68548-78-7 HCAPLUS CN Pyrrolidine, 2-(2-phenoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

L51 ANSWER 38 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1976:164745 HCAPLUS

DOCUMENT NUMBER: TITLE:

84:1647.45
Synthesis of 6,7-dihydrodibenz[b,g][1,5-oxazocin-5-

ones

AUTHOR(S):

Lieb, Folker; Eiter, Karl

CORPORATE SOURCE:

Wiss. Hauptlab., Bayer A.-G., Leverkusen, Fed. Rep.

Ger.

SOURCE:

Justus Liebigs Annalen der Chemie (1976), (2), 203-7

CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE:

Journal

LANGUAGE:

German

OTHER SOURCE(S):

CASREACT 84:164745

GΙ

- AB Dibenzoxazocinones I (R = H, Cl, Rl = H) were prepared by brominating II (R2 = H), treating II (R2 = Br) with K phthalimide, hydrazinolysis of II (R2 = phthalimido), and cyclization of II (R2 = NH2) with base. I (R1 = H) were aminoalkylated to give I (R1 = CH2CH2NMe2). The dioxadiazacyclohexadecenedione III was prepared by treating 2-R3OCH2C6H4OC6H4CN-2 (R3 = H, Et) with H2SO4.
- IT 59167-58-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 59167-58-7 HCAPLUS

CN Benzoic acid, 2-[2-(aminomethyl)phenoxy]-5-chloro-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

HCl

L51 ANSWER 39 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1975:118784 HCAPLUS

DOCUMENT NUMBER:

82:118784

TITLE:

Antiarrhythmic agents. 2-, 3-, and 4-Substituted

benzylamines

AUTHOR(S):

Remy, David C.; Van Saun, William A., Jr.; Engelhardt,

Edward L.; Torchiana, Mary L.; Stone, Clement A. Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA

CORPORATE SOURCE:

Journal of Medicinal Chemistry (1975), 18(2), 142-8

SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal English

LANGUAGE:

For diagram(s), see printed CA Issue.

AB Of 29 title compds. prepared and tested for antiarrhythmic activity in dogs, 2-(p-methoxyphenylethynyl)benzylamine-HCl (I-HCl) [54737-55-2], α,α -dimethyl-4-(phenylethynyl)benzylamine-HCl (II-HCl) [38135-42-1], and α,α -dimethyl-4-phenethylbenzylamine-HCl (III-HCl) [38135-43-2] showed good activity. Many of the compds. are more active antiarrhythmic agents than quinidine [56-54-2] tested under similar conditions. Structure-activity relations are discussed.

IT 31963-35-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiarrhythmic activity of)

RN 31963-35-6 HCAPLUS

CN Benzenemethanamine, 2-phenoxy-, hydrochloride (9CI) (CA INDEX NAME)

HCl

L51 ANSWER 40 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:141251 HCAPLUS

DOCUMENT NUMBER: 74:141251

TITLE: Antiarrythmic o-phenoxybenzylamine

INVENTOR(S): Remy, David C.

PATENT ASSIGNEE(S): Merck and Co., Inc. SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
	DE 2047677	Α	19710408		DE 1970-2047677	19700928
	NL 7013566	A	19710331		NL 1970-13566	19700914
	GB 1287497	A	19720831		GB 1970-1287497	19700928
	FR 2070095	A5	19710910		FR 1970-35195	19700929
	FR 2070095	В1	19750418			
RIO	RITY APPLN. INFO	. :		US	1969-862076	19690929

AB The title compound was prepared by reaction of o-BrC6H4CN with PhONa in MeOH in the presence of Cu to give o-NCC6H4OPh which was reduced with LiAlH4 in Et2O.

IT 31963-35-6P

RN 31963-35-6 HCAPLUS

CN Benzenemethanamine, 2-phenoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

L51 ANSWER 41 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1971:3381 HCAPLUS

DOCUMENT NUMBER: 74:3381

TITLE: Nucleophilic aromatic substitution by aminophenoxide

ions

AUTHOR(S): Schramm, Juergen; Radlmann, Eduard; Lohwasser,

Hermann; Nischk, Guenther

CORPORATE SOURCE: Org.-Wiss. Lab., Farbenfabriken Bayer A.-G.,

Dormagen/Rhein, Fed. Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1970), 740, 169-79

CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE:

German

OTHER SOURCE(S):

CASREACT 74:3381

AB Aromatic RC1 activated by o-or (and) p-NO2 reacted with x-H2NC6H4OK (I) in Me2SO at room temperature to give x-H2NC6H4OR (II) (x = o-, m-, or p-position,

R

= C6H4NO2-p or o-, C6H3(NO2)Cl-4,2, C6H3(NO2)CF3-2,4, C6H3-(NO2)2-2,4], in some cases addnl. in minor amts. 2,4-R1(O2N)-C6H3OC6H4[NHC6H3(NO2)R1-4,2]-4 (R1 = H, Cl, NO2), and 4-HOC6H4NHC6H3(NO2)R1-4,2, if R1 = NO2. 6,3- and 3,4-Cl-(H2N)C6H3OK reacted similarly to give the II-analogs. II were hydrogenated over Raney Ni to give the corresponding diamines. Similarly, o- and p-ClC6H4CN and I gave the corresponding H2NC6H4OC6H4CN, which were hydrogenated as above. Dichloroaryl and -heteroaryl compds. and I gave the corresponding H2NC6H4OQOC6H4NH2 (Q = 3,4-pyridazinylene, 2,4-pyrimidinylene, 1,4-phthalazinylene, 1,3-C6H3NO2-6, 1,3-C6H2(NO2)Cl-6,4, or 4-C6H4SO2C6H4-4).

IT 30202-94-9P 30203-00-0P 30203-01-1P 30293-17-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 30202-94-9 HCAPLUS

CN Benzylamine, o-(p-aminophenoxy)- (8CI) (CA INDEX NAME)

RN 30203-00-0 HCAPLUS

CN Benzylamine, o-(o-aminophenoxy)-, monopicrate (8CI) (CA INDEX NAME)

CM 1

CRN 30293-17-5 CMF C13 H14 N2 O

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

RN 30203-01-1 HCAPLUS

CN Benzylamine, o-(p-aminophenoxy)-, dihydrochloride (8CI) (CA INDEX NAME)

●2 HCl

RN 30293-17-5 HCAPLUS

CN Benzenemethanamine, 2-(2-aminophenoxy)- (9CI) (CA INDEX NAME)

L51 ANSWER 42 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1970:466188 HCAPLUS

DOCUMENT NUMBER:

73:66188

TITLE:

Macro chelate rings. III. Syntheses and configurations of complexes of new ligands,

4,4'-dimethyl-2,2'-bis(salicylideneaminomethyl)dipheny

1 ether and 4,4'-dimethyl-2,2'-

bis (salicylideneaminomethyl) diphenylamine

AUTHOR(S):

Okawa, Hisashi; Koyama, Hiroyuki; Inazu, Takahiko;

Yoshino, Tamotsu

CORPORATE SOURCE:

Fac. Sci., Kyushu Univ., Fukuoka, Japan

SOURCE:

Bulletin of the Chemical Society of Japan (1970),

43(6), 1729-33

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI For diagram(s), see printed CA Issue.

AB Two quadridentate chelating agents, 4,4'-dimethyl-2,2'-

bis(salicylideneaminomethyl)diphenyl ether (I) and 4,4'-dimethyl-2,2'-

bis(salicylideneaminomethyl)diphenylamine (II), which may form metal complexes with a ten-membered chelate ring, were synthesized and their Co(II), Ni(II), Cu(II), and Zn(II) complexes prepared. The configurations around the central divalent metal ion were explored on the basis of the absorption of the ligand field in a solution. A tetrahedral configuration for Co(II) and Zn(II) complexes, and a pseudotetrahedral configuration for the Ni(II) and Cu complexes, were concluded.

IT 27996-12-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 27996-12-9 HCAPLUS

CN Benzylamine, 2,2'-oxybis[5-methyl-, dihydrochloride (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2-\text{NH}_2 \\ \hline \\ \text{Me} \end{array}$$

●2 HCl

L51 ANSWER 43 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:118547 HCAPLUS

DOCUMENT NUMBER: 55:118547

ORIGINAL REFERENCE NO.: 55:22321f-i,22322a-b

TITLE: The synthesis of esters of some amino acids having

pharmacological importance. I. The synthesis of esters

of piperidino carboxylic acids

AUTHOR(S): Matkovics, Bela; Foldeak, Sandor; Porszasz, Janos;

Sipos, Gyorgy

CORPORATE SOURCE: Tudomanyegyetem, Szeged, Hung.

SOURCE: Acta Pharmaceutica Hungarica (1961), 31, 113-21

CODEN: APHGAO; ISSN: 0001-6659

DOCUMENT TYPE: Journal

LANGUAGE: Hungarian

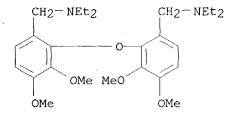
AB RCH2CO2R' (I), RCH2CH2CO2R' (II), BzOCH2CH2R (III), and AcOCHMeCH2R (IV) were prepared I were prepared by condensing ClCH2CO2R' with a secondary amine, II by boiling ClCH2CH2CO2R' with the amine, and III by the reaction of an amino alc. with BzCl. The following I were obtained (R, R', b.p.°/mm., m.p. of picrate, m.p. of HCl salt, and m.p. of methiodide are given): piperidino, Me, 69°/5, 115°, 214°, 163-4°; piperidino, Et, 68°/1, 122°, 117-17.5°, 160-60.3°; piperidino, Bu, 100-1°/4, 85°, -, 178°; piperidino, PhCH2, 134-5°/1, 137°, 133°, 91-6°; morpholino, Me, 77°/2, 143°, 150.5°, 147.5°; morpholino, Et, 86-7°/4, 163°, 181°, 132-3°; morpholino, Bu, 105.5-106°/3, -, 127-9°, 95-6°; morpholino, PhCH2, 164-5°/5, 143°, 149°, -; pyrrolidino, Me, 72-3°/8, 104°, -, 153°; pyrrolidino, Et,

IT

RN

CN

59-60°/2, 119.5°, 133-3.5°, -; pyrrolidino, Bu, 81-2°/3, 109.5°, -, -; pyrrolidino, PhCH2, 134-5°/1, 159-60°, 139-40°, 156°. The following II were prepared (data as above): piperidino, Me, 72°/2, 164°, 189°, 147-8°; piperidino, Et, 102-3°/5, 131.5°, 169°, -; piperidino, Bu, 124-5°/6, 108-9°, 164.7°, -; piperidino, PhCH2, 149-50°/1, 113°, 193.5°, -; piperidino, Ph. 114-20°/3, -, 192-5°, -; piperidino, CPh3, 171°/1, -, 214°, -; morpholino, Me, 82°/2, 129°, 203°, 151°; morpholino, Et, 108°/6, 108°, 188-9°, -; morpholino, Bu, 131-2°/6, 150°, 173°, 115°; morpholino, PhCH2, 154°/1, 125°, 189-90°, -; pyrrolidino, Me, 76°/5, 147°, 128°, 166°; pyrrolidino, Me, 76°/5, 97°, 74-5°, 115°; pyrrolidino, Et, 85°/6, 114°, 146°, -; pyrrolidino, Bu, 106-8°/5, 97°, 74-5°, 115°; pyrrolidino, PhCH2, 145-6°/3, 102°, 152°, 154°. IV (R =pyrrolidino) (V), b3 75°, picrate m. 111-12°, gave a hygroscopic HCl salt. III (R = piperidino) b2 141°; HCl salt m. 184°; methiodide m. 141.5°. The action of the compds. on blood pressure and on respiration was given. II (R = N-piperidino, R' = CPh3) and V had strong antinicotinic action. The effect of the piperidino and pyrrolidino propionates was increased by quaternization. 103044-26-4, Veratrylamine, 2,2'-oxybis[N,N-diethyl- (preparation of) 103044-26-4 HCAPLUS Veratrylamine, 2,2'-oxybis[N,N-diethyl- (CA INDEX NAME)



L51 ANSWER 44 OF 44 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1957:71530 HCAPLUS

DOCUMENT NUMBER: 51:71530

ORIGINAL REFERENCE NO.: 51:12942c-i,12943a-i,12944a-c

TITLE: Alkaloid studies. XVII. The structure of the cactus

alkaloid pilocereine

AUTHOR(S): Djerassi, Carl; Figdor, S. K.; Bobbitt, J. M.;

Markley, F. X.

CORPORATE SOURCE: Wayne State Univ., Detroit, MI

SOURCE: Journal of the American Chemical Society (1957), 79,

2203-10

CODEN: JACSAT; ISSN: 0002-7.863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. C.A. 51, 8118d. Structure I (R = CH2CHMe2) was elucidated for the

cactus alkaloid pilocereine. I (8.5 g.) in 200 cc. MeOH-280 cc. Et20 treated 6 days at 0° with 2.2 g. distilled CH2N2, the mixture treated with an addnl. 2.2 g. CH2N2, kept 3 days at 0°, and evaporated and the residue recrystd. from hexane yielded 6.5 g. Me ether (II) of I, m. 92-105°, resolidified and m. 153-5° (all m.ps. were determined on a Kofler block). II, m. 153-5° (from EtOAc), was transformed to a 2nd crystalline form, m. 133-5°; the transformation was reversed by recrystn. from hexane. I (3.0 g.) in 100 cc. absolute EtOH treated with 3.6 g. MeCHN2 in 150 cc. Et2O, kept 24 hrs. at room temperature, treated with an addnl. 3.6 g. MeCHN2, refrigerated 6 days, and evaporated yielded 2.07 g. Et ether (III) of I, m. 90-5° and 152-3° (from hexane); 2nd crop, 0.32 g. Amberlite IRA-400 (HCl) (200 g.) treated with 500 cc. 50% aqueous NaOH, 2 l. H2O, and finally 250 g. NaHCO3 in saturated aqueous solution and

washed with 12-16 l. H2O gave the bicarbonate salt IRA-400-HCO3 which was stored under distilled H2O. Styphnates and picrates in EtOH or Me2CO containing

about 5% H2O passed dropwise over a column of IRA-400-HCO3, the column washed with 2 vols. 10% aqueous Me2CO, the Me2CO removed in vacuo, acid added, the aqueous solution washed with Et2O and basified with NH4OH, and the base isolated with Et2O gave the corresponding free amines. II (2.5 g.) in 100 cc. 10% H2SO4 made just alkaline with 2N NaOH, treated dropwise at room temperature

with 250 cc. 2% aqueous KMnO4, allowed to stand overnight, acidified with H2SO4, and extracted continuously with Et2O, the residue from the extract treated

with SOC12 and then PhNH2, and the product chromatographed yielded 35 mg. iso-PrCONHPh and 10 mg. iso-BuCONHPh. I (5.0 g.) in 200 cc. dry Et20 added slowly with stirring to 1.5 l. liquid NH3 at -60° during 5 hrs., the mixture warmed during 3 hrs. to -30°, treated cautiously with NH4Cl and evaporated overnight, the residue partitioned between Et20 and 3% aqueous NaOH, the alkaline layer acidified with 40% H2SO4, washed with Et20, basified with concentrated NH4OH, and extracted with Et20, and the extract evaporated gave

2.46 g. phenolic basic oil (IV); the original Et20 layer extracted with 10% HCl, dried, and evaporated left only a small amount of nonphenolic, nonbasic oil

which was discarded; the acid extract basified with NH4OH and extracted with $\mbox{Et2O}$

gave 2.40 g. nonphenolic, basic, glassy material (V). V consisted mainly of isopilocereine (VI); dipicrate, m. 235-7° (from Me2CO). VI dipicrate (3.5 g.) treated with LiOH and the resulting free base treated with CH2N2 in Et2O-MeOH yielded 55% Me ether (VII) of VI, b0.005 180-90° (evaporatively distilled). In 1 run, a 75-mg. aliquot of V treated with 40 mg. picric acid yielded 70 mg. 1-isobutyl-2-methyl-6-methoxy-1,2,3,4-tetrahydroisoquinoline (VIII) picrate, m. 150-1° (from MeOH). IV (0.26 g.) treated 6 days at 0° with CH2N2 in Et2O containing a small amount of MeOH and evaporated, the residue extracted with and

washed with 3% aqueous NaOH, and the resulting oil (0.2 g.) chromatographed on 9 g. Al2O3 gave 0.155 g. 7-MeO derivative (IX) of VIII, nD25 1.5284; styphnate, m. 212-13°; picrate, m. 184-5°. I (5 g.) in 1.5 l. dry NH3 treated at -30° with 6 g. K, and the mixture worked up in the usual manner gave 1.79 g. V and 2.68 g. IV; the IV dissolved in Et2O, dried, and concentrated yielded 1.45 g. demethylisopilocereine (X), m. 177.5-78°. X (100 mg.) treated 2 days at 0° with excess CH2N2 in Et2O and evaporatively distilled yielded 81 mg. glass, the infrared

spectrum of which closely resembled that of VI; treatment with picric acid gave a small amount of VI picrate. X (210 mg.) in Et20-MeOH treated 7 days with CH2N2 yielded 120 mg. VII. IV (300 mg.) treated 7 days at room temperature

with 0.84 g. MeCHN2 in Et20, washed with alkali, and treated with picric acid gave the picrate of the 7-Et0 derivative of VIII, m. 151.5-2.5°.

Natural IX (2.2 g.) oxidized with KMn04 yielded 310 mg. m-hemipinic acid, characterized as the di-Me ester, m. 89.5-90°; iso-PrCO2H and iso-BuCO2H were identified as their anilides. IX (2.47 g.) and 10 cc. MeI kept overnight at room temperature, the resulting methiodide (5.17 g.) dissolved

in a small amount of H2O, added to 120 cc. 50% aqueous KOH, and refluxed 2 hrs.,

and the product isolated in the usual manner yielded 2.05 g. 2,4,5-[iso-Bu(Me2N) CH](MeO)2C6H2CH:CH2 (XI), oil. XI (185 mg.) in glacial AcOH ozonized 0.5 hr. at 15° and steam distilled into dimedon in MeOH, and the mixture kept 24 hrs. at 0° gave 39 mg. CH2O derivative, m. 193-5°. XI (1.87 g.) in MeOH hydrogenated 1 hr. over 5% Pd-C yielded the 1-Et analog (XII) of XI. XII converted to the methiodide (3.94 g.) and boiled with 50% aqueous KOH yielded 1.06 g. neutral N-free oil, apparently 3,4,5-Et(MeO)2C6H2CH:CHCHMe2; a 90-mg. portion ozonized and steam distilled into acidified aqueous 2,4-(O2N)2C6H3NHNH2, extracted with C6H6, and

chromatographed on Al203 yielded 20 mg. iso-PrCHO derivative, m. 181-2°. IX oxidized with KMnO4 in the same manner as I gave iso-PrCO2H and iso-BuCO2H. VII (104 mg.) in C6H6 treated 4.5 hrs. with $1\,$ cc. MeI gave 153 mg. VII.2MeI, m. 191-4° (from hexane-Me2CO). VII.2MeI (150 mg.) in 5 cc. MeOH and 20 cc. H2O passed 4 times over IRA-400-OH resin, the column washed with 20 cc. 50% aqueous MeOH, and the residue from the eluates distilled yielded 89 mg. gummy methine, C33H50N2O4, b0.05, $170-5^{\circ}$; a 100-mg. sample ozonized in CHCl3 at -60° gave 55 mg. CH2O-dimedon derivative; a 500-mg. sample in EtOH hydrogenated 10 min. over Pd-C yielded 450 mg. reduced methine (XIII), b0.005 160° (bath temperature). XIII (130 mg.) in Et2O treated with MeI, the dimethiodide (180 mg.) decomposed by the ion exchange resin method, the resulting neutral olefin (76 mg.), $b0.005 160-80^{\circ}$ ozonized in CHCl3, at -60° , and the distillate passed into 2,4-(O2N)2C6H3NHNH2 solution yielded 44% 2,4-(O2N)2 C6H3NHN:CHCHMe2 (XIIIa). II (2.56 g.) treated with MeI, the II.MeI (3.9 g.), m. 137-50° (decomposition), powdered, added to 100 cc. refluxing 40% aqueous NaOH, and refluxed 2.5 hrs., a 160-mg. portion of the resulting methine 4,2,-5-R(MeO)[CH(NMe2)(CH2CHMe2)]C6H2OC6H(OME)2[CH(NMe2) (CH2CHMe2)]R-2,3,6,5 (XIV) (R = CH:CH2) (2.0 g.) ozonized in AcOH, and the mixture steam distilled into 2,4-(O2N) 2C6H3NHNH2 gave only 47 mg. CH2O derivative

XIV (R = CH:CH2) (1.9 g.) in 50 cc. 95% EtOH hydrogenated over 300 mg. 10% Pd-C, and the crude product (1.85 g.) recrystd. from MeCN gave 0.92 g. XIV (R = Et), m. $101.5-3.5^{\circ}$. XIV (R = Et) (1.21 g.) subjected to a 2nd stage Hofmann degradation gave 0.45 g. Me3N picrate, m. $206-10^{\circ}$, and 0.84 g. N-free degradation product which ozonized in EtOAc at -60° and worked up in the usual manner yielded only 3% XIIIa. XIV (R = Et) converted to the dimethiodide (1.72 g.) and subjected to a Hofmann degradation in the usual manner except that the compound was first dissolved in EtOH gave a substance, b0.005 155-70°, which appeared to be the di-CH(OEt)CH2CHMe2 analog (XV) of XIV (R = Et). II (1.98 g.) cleaved in the usual manner with 90 cc. Et2O, 600 cc. liquid NH3, and 2.5 g. K at -60° during 7 hrs. gave 1.30 g. nonphenolic basic and 0.67 g. phenolic basic fractions. The nonphenolic fractions dissolved in 20

cc. hexane and chromatographed on 80 g. Al203 (deactivated with 2.4 cc. 10% AcOH), giving 114 fractions, and fractions 20-46 (hexane up to 1:1 hexane-C6H6) treated with alc. picric acid gave 0.53 g. picrate of VIII, m. 152-3°; fractions 47-83 (1:1 hexane-C6H6 to 99:1 C6H6-Et20) treated with alc. picric acid gave 0.196 g. IX picrate, m. 183-5°. Fractions 100-12 (9:1 C6H6-Et2O) gave similarly 10% picrate of the 8-OH derivative (XVI) of IX, m. 150-5°. XVI (73 mg.) (from the picrate) treated 10 days at 0° with CH2N2 in Et2O-MeOH and the product treated with alc. picric acid yielded the picrate of the 8-MeO analog (XVII) of XVI, m. $132-4^{\circ}$. Fractions 112-14 (Et20 and 9:1Et20-MeOH) gave a picrate, m. unsharply above 210°, which may represent dimeric material. The phenolic cleavage product (0.67 g.) and CH2N2 in MeOH-Et2O refrigerated 8 days yielded 0.43 g. picrate of IX, m. 181-4°; the mother liquors transformed to the free amine by the ion exchange method and chromatographed on deactivated Al203 gave 0.164 g. oil which treated with picric acid yielded 0.175 g. picrate of XVII. III (2.04 g.) in 80 cc. Et20 and 600 cc. liquid NH3 treated at -60° with 3.3 g. K and the mixture worked up after 24 hrs. gave 1.30 g. nonphenolic basic and 0.51 g. phenolic basic fractions. The nonphenolic portion chromatographed in the usual manner gave 0.576 g. VIII picrate, m. 151-3°, 0.227 g. picrate of the 7-EtO analog (XVIII) of IX, m. $152-3^{\circ}$, and 0.244 g. picrate of the 8-OH derivative of XVIII, m. $153-4^{\circ}$. The phenolic portion (0.51 g.) methylated in the usual manner and treated with picric acid gave 0.356 g. picrate of IX, m.

IT 115606-43-4, Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-2methoxy-4-vinylphenoxy]-α-isobutyl-N,N-dimethyl-6-vinyl117272-08-9, Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-4ethyl-2-methoxyphenoxy]-6-ethyl-α-isobutyl-N,N-dimethyl(preparation of)

RN 115606-43-4 HCAPLUS

CN Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-2-methoxy-4-vinylphenoxy]- α -isobutyl-N,N-dimethyl-6-vinyl- (6CI) (CA INDEX NAME)

RN 117272-08-9 HCAPLUS

CN Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-4-ethyl-2-methoxyphenoxy]-6-ethyl- α -isobutyl-N,N-dimethyl- (6CI) (CA INDEX NAME)

=> b caold

FILE 'CAOLD' ENTERED AT 11:29:44 ON 11 FEB 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

```
=> d que 154 nos
L6
                 STR
L7
                 STR
rs
                 STR
L9
           6520) SEA FILE=REGISTRY SSS FUL L6
            747) SEA FILE=REGISTRY SUB=L9 SSS FUL (L6 AND (L7 OR L8))
L10 (
L11
                 STR
L12
                 STR
L13
                 STR
L14
                 STR
            544 SEA FILE=REGISTRY SUB=L10 SSS FUL (L6 AND L14 NOT (L11 OR L12
L15
                 OR L13))
L17
                 STR
                 STR
L20
L46
                 STR
L47
                 STR
L48
                 STR
L50
             273 SEA FILE=REGISTRY SUB=L15 SSS FUL (L17 AND (L20 OR L46 OR L47
               __OR_ L48)_)
L54
               3 SEA FILE=CAOLD ABB=ON PLU=ON
```

=> d iall hitstr 1-3

L54 ANSWER 1 OF 3 CAOLD COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

CA55:22321e CAOLD

TITLE:

synthesis and halomethylation of bis(3,4-dimethoxyphenyl) ether-reaction of halomethyl derivs. with secondary amines

and pyridines

AUTHOR NAME:

Matarasso-Tchiroukhine, Elisabeth

INDEX TERM:

786-19-6 101432-12-6 101432-17-1 101744-16-5 102015-72-5

102015-78-1 **103044-26-4** 108480-92-8 108480-93-9 **116378-59-7** 116572-03-3 116572-04-4 117042-78-1

117042-83-8

IT 103044-26-4 116378-59-7

RN 103044-26-4 CAOLD

CN Veratrylamine, 2,2'-oxybis[N,N-diethyl- (6CI) (CA INDEX NAME)

RN 116378-59-7 CAOLD

CN Veratrylamine, 2,2'-oxybis[N,N-diethyl-, dipicrate (6CI) (CA INDEX NAME)

CM 1

CRN 103044-26-4 CMF C26 H40 N2 O5

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

L54 ANSWER 2 OF 3 CAOLD COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

ACCESSION NONDER.

CA52:9005i CAOLD

TITLE:

synthesis of guanidine compds. of diphenyl ether - (I), (II)

synthesis of diphenyl ether aldehyde by the Sommelet reaction and expts. with methylguanidine derivs. (1)

AUTHOR NAME:

INDEX TERM:

Ito, Genzo 67-36-7 500-78-7 3396-01-8 19434-34-5 22479-78-3 36881-42-2 62248-88-8 76838-41-0 76839-21-9 78725-47-0 82657-72-5 91955-44-1 92028-82-5 100394-74-9 100714-40-7 100724-23-0 100956-00-1 100970-01-2 101091-62-7 101097-62-5 101112-34-9 101868-83-1 102078-83-1 102309-41-1 105901-52-8

105901-53-9 106272-17-7 107558-81-6 107622-81-1 **107624-15-7** 107771-82-4 107774-30-1 107776-32-9

125644-23-7 132624-85-2

IT 107624-15-7

RN 107624-15-7 CAOLD

CN Benzylamine, o-phenoxy-, nitrate (6CI) (CA INDEX NAME)

CM 1

CRN 107624-14-6 CMF C13 H13 N O

CM 2

CRN 7697-37-2 CMF H N O3

L54 ANSWER 3 OF 3 CAOLD COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: CA51:12942c CAOLD

TITLE: alkaloid studies - (XVII) structure of the cactus alkaloid

pilocereine

AUTHOR NAME: Djerassi, Carl; Figdor, S. K.; Bobbitt, J. M.; Markley, F.

Х.

INDEX TERM: 479-49-2 3300-36-5 3423-32-3 25181-15-1 91809-56-2

93146-43-1 94326-14-4 95282-34-1 96506-44-4 101745-56-6 101745-83-9 102032-23-5 102589-65-1 103404-48-4 105820-94-8

111979-41-0 112045-14-4 115604-83-6 **115606-43-4** 116571-90-5 **117272-08-9** 121233-16-7 124142-92-3

124515-45-3

IT 115606-43-4 117272-08-9

RN 115606-43-4 CAOLD

CN Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-2-methoxy-4-vinylphenoxy]- α -isobutyl-N,N-dimethyl-6-vinyl- (6CI) (CA INDEX NAME)

RN 117272-08-9 CAOLD

CN Veratrylamine, 2-[5-(1-dimethylamino-3-methylbutyl)-4-ethyl-2-methoxyphenoxy]-6-ethyl- α -isobutyl-N,N-dimethyl- (6CI) (CA INDEX NAME)